INVENTOR SEARCH

```
=> fil capl; d que l1; d que l11; d que l15
FILE 'CAPLUS' ENTERED AT 17:08:25 ON 28 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 28 Dec 2006  VOL 146 ISS 1
FILE LAST UPDATED: 27 Dec 2006  (20061227/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html
'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE


L1             1 SEA FILE=CAPLUS ABB=ON  US2003-658326/AP



L3             7 SEA FILE=CAPLUS ABB=ON  FAHNRICH M?/AU
L4            60 SEA FILE=CAPLUS ABB=ON  STEINMEYER A?/AU
L5           382 SEA FILE=CAPLUS ABB=ON  KIRSCH G?/AU
L6           187 SEA FILE=CAPLUS ABB=ON  NEEF G?/AU
L7          1038 SEA FILE=CAPLUS ABB=ON  SCHWARZ K?/AU
L8            60 SEA FILE=CAPLUS ABB=ON  THIEROFF EKERDT R?/AU OR THIEROFF
                 R?/AU OR EKERDT R?/AU
L9           119 SEA FILE=CAPLUS ABB=ON  WIESINGER H?/AU
L10           58 SEA FILE=CAPLUS ABB=ON  HABEREY M?/AU
L11            6 SEA FILE=CAPLUS ABB=ON  L3 AND (L4 OR L5 OR L6 OR L7 OR L8 OR
                 L9 OR L10)



L3             7 SEA FILE=CAPLUS ABB=ON  FAHNRICH M?/AU
L4            60 SEA FILE=CAPLUS ABB=ON  STEINMEYER A?/AU
L5           382 SEA FILE=CAPLUS ABB=ON  KIRSCH G?/AU
L6           187 SEA FILE=CAPLUS ABB=ON  NEEF G?/AU
L7          1038 SEA FILE=CAPLUS ABB=ON  SCHWARZ K?/AU
L8            60 SEA FILE=CAPLUS ABB=ON  THIEROFF EKERDT R?/AU OR THIEROFF
                 R?/AU OR EKERDT R?/AU
L9           119 SEA FILE=CAPLUS ABB=ON  WIESINGER H?/AU
L10           58 SEA FILE=CAPLUS ABB=ON  HABEREY M?/AU
L12        20749 SEA FILE=CAPLUS ABB=ON  VITAMIN D/OBI
L13           53 SEA FILE=CAPLUS ABB=ON  (L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR
                 L9 OR L10) AND L12
L14         6194 SEA FILE=CAPLUS ABB=ON  (C25 OR C 25)/BI
```

L15 3 SEA FILE=CAPLUS ABB=ON L13 AND L14

=> s l1,l11,l15
L16 8 (L1 OR L11 OR L15)

=> d ibib ed abs 1-8

L16 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:78357 CAPLUS Full-text
DOCUMENT NUMBER: 134:131708
TITLE: Preparation and bioactivity of vitamin D derivs. with cyclic substructures in the side chains
INVENTOR(S): **Steinmeyer, Andreas; Schwarz, Katica** ; Giesen, Claudia; **Haberey, Martin; Fahnrich, Marianne**
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007405	A2	20010201	WO 2000-EP7104	20000724
WO 2001007405	A3	20020328		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19935771	A1	20010201	DE 1999-19935771	19990723
CA 2376465	A1	20010201	CA 2000-2376465	20000724
BR 2000013175	A	20020402	BR 2000-13175	20000724
EP 1210327	A2	20020605	EP 2000-962278	20000724
EP 1210327	B1	20060118		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
HU 200202015	A2	20021028	HU 2002-2015	20000724
JP 2003505447	T	20030212	JP 2001-512492	20000724
EE 200200036	A	20030415	EE 2002-36	20000724
US 6603031	B1	20030805	US 2000-624608	20000724
EP 1362848	A1	20031119	EP 2003-90212	20000724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
NZ 515891	A	20040326	NZ 2000-515891	20000724
AU 773673	B2	20040603	AU 2000-74072	20000724
AT 316073	T	20060215	AT 2000-962278	20000724
ES 2254222	T3	20060616	ES 2000-962278	20000724
BG 106334	A	20020628	BG 2002-106334	20020121
NO 2002000330	A	20020322	NO 2002-330	20020122
ZA 2002001482	A	20030521	ZA 2002-1482	20020221
US 2003149006	A1	20030807	US 2002-303916	20021126
US 7115758	B2	20061003		

PRIORITY APPLN. INFO.: DE 1999-19935771 A 19990723

EP 2000-962278 A3 20000724
US 2000-624608 A3 20000724
WO 2000-EP7104 W 20000724

OTHER SOURCE(S): MARPAT 134:131708
ED Entered STN: 02 Feb 2001
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention describes the synthesis of vitamin D derivs. [I; Y1, Y2 = OH, alkanoyloxy, aroyloxy; R1, R2 = H; R1R2 = CH2; R3, R4 = H, Cl, F, alkyl, etc.; Q = alkylene chain; X1, X2 = H, OH, Cl, F, Br, etc.; Z = (un)substituted, (un)saturated or aromatic 5-, 6-membered carbo-, heterocyclic ring], the intermediates used in the process, and the production of medicaments. Thus, vitamin D analog II was prepared via Wittig reaction of ketone III (also prepared) with IV, followed by deprotection. II had competition factor of 5 vs. calcitriol towards receptor binding and dose relation for differentiation induction in HL 60 cell.

L16 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:404974 CAPLUS Full-text
DOCUMENT NUMBER: 131:59020
TITLE: Preparation of vitamin D derivatives with phosphorous atoms in the side chains
INVENTOR(S): **Steinmeyer, Andreas; Neef, Gunter; Kirsch, Gerald; Schwarz, Katica; Wiesinger, Herbert; Haberey, Martin; Fahnrich, Marianne**; Langer, Gernot
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931112	A1	19990624	WO 1998-EP8137	19981216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 927721	A1	19990707	EP 1997-250374	19971217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
DE 19758119	C1	19990729	DE 1997-19758119	19971217
AU 9924134	A	19990705	AU 1999-24134	19981216
EP 1042335	A1	20001011	EP 1998-966616	19981216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002508383	T	20020319	JP 2000-539035	19981216

US 6531459 B1 20030311 US 2000-581907 20000804
PRIORITY APPLN. INFO.: DE 1997-19758119 A 19971217
EP 1997-250374 A 19971217
WO 1998-EP8137 W 19981216

OTHER SOURCE(S): MARPAT 131:59020
ED Entered STN: 01 Jul 1999
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel vitamin D derivs. I [Y1 = H, OH, F, Cl, Br, O2CR5; Y2 = H, COR6; Y2O = α- or β- bond; R1, R2 = H; R1R2 = CH2; R3, R4 = H, Cl, F, C1-4-alkyl; R3R4 = CH2; R3R4-C(20) = saturated or unsatd. C3-7-cycloalkyl; R5, R6 = C1-12-alkyl, aryl; VW = bond; V = W = OH; V = OH, W = H; X1, X2 = H, OH, OR7, O2CR7, PO(OR8)2, PO(NR82)2, PO(R8)2, OPO(OR8)2, OPO(NR82)2, OPO(R8)2, CH2PO(OR8)2, CH2PO(NR82)2, CH2PO(R8)2; R7 = C1-12-alkyl, aryl; R8 = H, C1-12-alkyl, aryl;; X1X2 = O; n = 0, 1; E1 = PO(OR9)2, PO(NR92)2, PO(R9)2, CO2R9; R9 = H, C1-12-alkyl, aryl; E2 = PO(OR9)2, PO(NR92)2, PO(R9)2, CO2R9, F, Cl, Br, H, C1-12-alkyl, aryl; Q = H, C1-12-alkyl, aryl, OH, O2CR10, F, Cl, Br, NH2, NHR10, N(R10)2; R10 = C1-12-alkyl, aryl; X1E2 = bond, X2 = H, OZ; Z = C1-12-alkyl, aryl, C1-12-acyl, aroyl, E2; X1X2E2Q = triple bond], a method for their production, intermediate products of the method as well as their use in producing medicaments. Thus, vitamin D analog II was prepared from aldehyde III (TBDMS = SiMe2CMe3), via photochem. E/Z-isomerization, Horner-Emmons reaction with (MeO)2P(O)CH2CO2Me, condensation of unsatd. ester IV with MeP(O)(OMe)2 and desilylation with Dowex ion-exchange resin. II has an affinity for calcitriol receptors (competition factor = 10) and shows differentiation induction for HL-60 cells [DR50 = 22] and hypercalcemia induction [DR50 = >>100].

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:233899 CAPLUS Full-text
DOCUMENT NUMBER: 130:296893
TITLE: Preparation of novel vitamin D derivatives with cyclopropyl ring in the lateral chains and their pharmaceutical uses
INVENTOR(S): **Steinmeyer, Andreas; Neef, Gunter; Kirsch, Gerald; Schwarz, Katica; Wiesinger, Herbert; Haberey, Martin; Fahnrich, Marianne**; Langer, Gernot
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916745	A1	19990408	WO 1998-EP6159	19980929

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,

UA, UG, US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19744127	A1	19990415	DE 1997-19744127	19971001
DE 19744127	B4	20061005		
IL 135364	A	20051120	IL 1998-135364	19980928
CA 2305140	A1	19990408	CA 1998-2305140	19980929
AU 9911476	A	19990423	AU 1999-11476	19980929
AU 750011	B2	20020711		
EP 1025082	A1	20000809	EP 1998-954292	19980929
EP 1025082	B1	20030502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 200002479	A2	20001228	HU 2000-2479	19980929
JP 2001518462	T	20011016	JP 2000-513831	19980929
AT 238987	T	20030515	AT 1998-954292	19980929
PT 1025082	T	20030930	PT 1998-954292	19980929
ES 2199472	T3	20040216	ES 1998-954292	19980929
US 7071344	B1	20060704	US 2000-509934	20000503
HK 1032389	A1	20060407	HK 2001-102923	20010425
US 2003018194	A1	20030123	US 2002-214166	20020808
US 2005227951	A1	20051013	US 2005-141060	20050601

PRIORITY APPLN. INFO.:
DE 1997-19744127 A 19971001
WO 1998-EP6159 W 19980929
US 2000-509934 A1 20000503

OTHER SOURCE(S): MARPAT 130:296893
ED Entered STN: 15 Apr 1999
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Y1 = H, OH, F, Cl, Br, hydrocarbylcarbonyloxy; Y2 = H, hydrocarbylcarbonyl; R1, R2 = H, or R1R2 = CH2; R3, R4 = H, Cl, F, alkyl, or R3R4 = CH2, or R3R4C = carbocyclic ring; VW = bond, or V = OH and W = H; Q = hydrocarbyl optionally possessing OH which may be etherified or esterified, CO, NH2, halo; Z = hydrocarbyl optionally possessing CO, OH which may be etherified or esterified, NH2, F, Cl, Br], useful for treating disorders such as calcium absorption disorders, hyperproliferative skin disorders, pruritus, tumors, immunol. disorders, inflammation, rheumatoid arthritis, asthma, autoimmune diseases, multiple sclerosis, diabetes mellitus, AIDS, as well as rejection in organ transplantation, are prepared Thus, sulfone II (also prepared) was reacted with III (also prepared) in THF containing diisopropylamine and BuLi to give, after elimination reaction and deprotection, the title compound IV. This had an affinity to the calcitriol receptor comparable to that of calcitriol.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:740204 CAPLUS Full-text
DOCUMENT NUMBER: 128:3826
TITLE: Process for the production of new **vitamin D** derivatives with carbo- or heterocyclic substituents at **C-25** and their intermediates
INVENTOR(S): **Steinmeyer, Andreas; Kirsch, Gerald**

; **Neef, Guenter; Schwarz, Katica; Thieroff-Ekerdt, Ruth; Wiesinger, Herbert; Haberey, Martin; Fahnrich, Marianne**

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741096	A1	19971106	WO 1997-EP2013	19970421
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19619036	A1	19971113	DE 1996-19619036	19960430
CA 2253288	A1	19971106	CA 1997-2253288	19970421
AU 9727666	A	19971119	AU 1997-27666	19970421
AU 730394	B2	20010308		
EP 900198	A1	19990310	EP 1997-921683	19970421
EP 900198	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1216978	A	19990519	CN 1997-194216	19970421
HU 9901534	A2	19990830	HU 1999-1534	19970421
NZ 332488	A	20000327	NZ 1997-332488	19970421
JP 2000510826	T	20000822	JP 1997-538533	19970421
SK 283041	B6	20030204	SK 1998-1464	19970421
AT 234280	T	20030315	AT 1997-921683	19970421
PT 900198	T	20030630	PT 1997-921683	19970421
ES 2192680	T3	20031016	ES 1997-921683	19970421
RU 2223954	C2	20040220	RU 1998-121426	19970421
PL 187766	B1	20041029	PL 1997-329597	19970421
ZA 9703757	A	19980820	ZA 1997-3757	19970430
TW 568902	B	20040101	TW 1997-86105733	19970430
NO 9805038	A	19981223	NO 1998-5038	19981029
NO 317752	B1	20041213		
US 2002049344	A1	20020425	US 1998-180018	19981211
US 6642218	B2	20031104		
HK 1020042	A1	20050520	HK 1999-105222	19991112
US 6600058	B1	20030729	US 2000-695137	20001025
US 6613920	B1	20030902	US 2000-695091	20001025
AU 765916	B2	20031002	AU 2001-46051	20010518
US 2005080058	A1	20050414	US 2003-658326	20030910 <--

PRIORITY APPLN. INFO.:	DE 1996-19619036	A	19960430
	AU 1997-27666	A3	19970421
	WO 1997-EP2013	W	19970421
	US 1998-180018	A3	19981211

OTHER SOURCE(S): CASREACT 128:3826; MARPAT 128:3826
ED Entered STN: 24 Nov 1997
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns a process for the production of new vitamin D derivs. I [Y1 = H, OH, alkanoyloxy, aroyloxy; Y2 = H, alkanoyl, aroyl; R1, R2 = H; R1R2 = CH2; R3, R4 = H, Cl, F, alkyl; R3R4 = CH2; R3(C-20)R4 = carbocyclic ring; Q = alkyl chain containing an α- or β-OH, ether, ester, amino group, keto group or halogen; R5, R6 = H, Cl, F, CF3, (un)saturated alkyl; R5(**C-25**)R6 = (un)saturated carbocyclic ring; Z = (un)substituted, (un)saturated or aromatic 5-, 6-membered carbo-, heterocyclic ring], the intermediates used in the process, and the production of medicaments. Thus, vitamin D analog II was prepared via condensation of aldehyde III with IV, followed by deprotection. II had competition factor of 2 vs. calcitriol towards receptor binding and dose relation for differentiation induction in HL 60 cells of 1.9 vs. calctriol.

L16 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:121454 CAPLUS Full-text
DOCUMENT NUMBER: 126:131696
TITLE: Novel **vitamin D** derivatives with **C-25** substituents for use as antiproliferative agents
INVENTOR(S): **Kirsch, Gerald; Steinmeyer, Andreas ; Neef, Guenter; Schwarz, Katica; Thieroff-Ekerdt, Ruth; Wiesinger, Herbert**; Menrad, Andreas; **Haberey, Martin**
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700242	A1	19970103	WO 1996-EP1788	19960430
W: AU, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2224440	A1	19970103	CA 1996-2224440	19960430
AU 9656930	A	19970115	AU 1996-56930	19960430
AU 707942	B2	19990722		
EP 832063	A1	19980401	EP 1996-915001	19960430
EP 832063	B1	20000223		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
HU 9801059	A2	19980828	HU 1998-1059	19960430
JP 11507649	T	19990706	JP 1996-502535	19960430
AT 189888	T	20000315	AT 1996-915001	19960430
ES 2144239	T3	20000601	ES 1996-915001	19960430
PT 832063	T	20000630	PT 1996-915001	19960430
CZ 291915	B6	20030618	CZ 1997-4031	19960430
IL 118366	A	20041215	IL 1996-118366	19960522
ZA 9605098	A	19970122	ZA 1996-5098	19960614
NO 9705852	A	19980216	NO 1997-5852	19971212
NO 317059	B1	20040802		
US 6372731	B1	20020416	US 1998-981819	19980331
GR 3033459	T3	20000929	GR 2000-401148	20000519

US 6376480	B1	20020423	US 2000-738286		20001218
PRIORITY APPLN. INFO.:			DE 1995-19522797	A	19950614
			WO 1996-EP1788	W	19960430
			US 1998-981819	A1	19980331

OTHER SOURCE(S): MARPAT 126:131696
ED Entered STN: 22 Feb 1997
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Vitamin D derivs. I [Y1 = OH, acyloxy; Y2 = H, Acyl; R1R2 = H2, CH2; R3, R4 = H, Cl, F, alkyl; R3R4 = CH2, alkylene; AB = O; A = OH, acyloxy, B = H; A = H, B = OH, acyloxy; R5, R6 = H, Cl, F, CF3, alkyl; R5R6 = (un)substituted alkylene] were prepared Thus, I [Y1 = OH, Y2 = H, R1R2 = CH2, R3 = H, R4 = Me, A = OH, B = H, R5R6 = CH2CH2, Z = Ac] was obtained from the acid II in 4 steps. This compound had twice the cell differentiating activity of calcitriol.

L16 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:706069 CAPLUS Full-text
DOCUMENT NUMBER: 123:160363
TITLE: 20-Methyl vitamin D analogs
AUTHOR(S): **Neef, G.; Kirsch, G.; Schwarz, K.; Wiesinger, H.;** Menrad, A.; **Fahnrich, M.; Thieroff-Ekerdt, R.; Steinmeyer, A.**
CORPORATE SOURCE: Research Laboratories Schering AG, Berlin, D-13342, Germany
SOURCE: Proceedings of the Workshop on Vitamin D (1994), 9th(Vitamin D), 97-8
CODEN: PWVDDU; ISSN: 0721-7110
PUBLISHER: de Gruyter
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 28 Jul 1995
AB Synthesis and biol. activity of 20-Me vitamin D analogs are discussed.

L16 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:563195 CAPLUS Full-text
DOCUMENT NUMBER: 122:314932
TITLE: Preparation of **vitamin D C -25** carboxylates as drugs
INVENTOR(S): **Steinmeyer, Andreas; Kirsch, Gerald ; Neef, Guenter; Schwarz, Katica; Thieroff-Ekerdt, Ruth; Wiesinger, Herbert; Haberey, Martin**
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9407853	A1	19940414	WO 1993-EP2814	19931006
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 4234382	A1	19940407	DE 1992-4234382	19921006
DE 4317415	A1	19941124	DE 1993-4317415	19930518
AU 9351771	A	19940426	AU 1993-51771	19931006
AU 671313	B2	19960822		
EP 663902	A1	19950726	EP 1993-922944	19931006
EP 663902	B1	19980311		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08501784	T	19960227	JP 1994-508736	19931006
JP 3565847	B2	20040915		
PL 175636	B1	19990129	PL 1993-308260	19931006
SK 280651	B6	20000516	SK 1995-458	19931006
CA 2146429	C	20061205	CA 1993-2146429	19931006
FI 9501614	A	19950405	FI 1995-1614	19950405
FI 109996	B1	20021115		
NO 9501318	A	19950602	NO 1995-1318	19950405
NO 309599	B1	20010226		

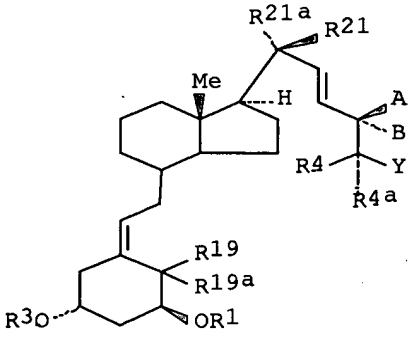
PRIORITY APPLN. INFO.:

DE 1992-4234382	A	19921006
DE 1993-4317415	A	19930518
WO 1993-EP2814	W	19931006

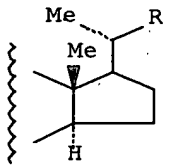
OTHER SOURCE(S): MARPAT 122:314932

ED Entered STN: 23 May 1995

GI



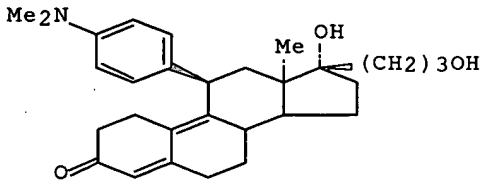
I



II

AB Title compds. (I; A, B = OR24, H; R1, R3 = H, alkanoyl, aroyl; R4, R4a = H, Cl, F, CF3, hydrocarbyl; R4R4a = atoms to form a carbocyclic ring; R19, R19a = H; R19R19a = CH2; R21, R21a = H, Cl, F, alkyl; R21R21a = CH2, atoms to form a carbocyclic ring; R24 = H, alkanoyl, aroyl; Y = CONR5R5', CO2R6, COSR6, cyano; R5, R5' = H, alkyl; R6 = H, alkyl, hydrocarbyl, etc.) were prepared as immunomodulators, antihyperproliferatives, etc. Thus, aldehyde II (R1 = R3 = SiMe2CMe3, R7R8 = CH2, R19 = R19a = H)(III; R = CHO) was condensed with Ph3P:CHCON(OME)Me and the product treated with Dibal to give III [R = (E)-CH:CHCHO] which was condensed with Me2CHCO2Pr to give, after irradiation and deprotection, II [R = (E,R)-CH:CHCH(OH)CMe2CO2Pr, R1 = R3 = R7 = R8 = H, R19R19a = CH2]. The latter gave differentiation of HL 60 cells to macrophage at 0.2 the dose (sic) required for calcitriol.

L16 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:547547 CAPLUS Full-text
DOCUMENT NUMBER: 107:147547
TITLE: The mechanism of action of new antiprogestins
AUTHOR(S): Elger, W.; Qing, Shi Shao; **Fahnrich, M.**; Beier, S.; Chwalisz, K.; Henderson, D.; **Neef, G.**; Rohde, R.
CORPORATE SOURCE: Res. Lab. Schering, Berlin/Bergkamen, Fed. Rep. Ger.
SOURCE: Serono Symposia Publications from Raven Press (1987), 36(Fertil. Regul. Today Tomorrow), 75-94
CODEN: SPRPDU; ISSN: 0733-897X
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 31 Oct 1987
GI



I

AB Three antigestagens, RU 38486, ZK 98734, and ZK 98299 (I), inhibited nidation and showed abortifacient activity in guinea pigs. The compds. differed for the latter activity with regard to the stage of pregnancy. The involvement of prostaglandins in the actions of the antigestagens is discussed.

STRUCTURE SEARCH
=>
=> => fil reg; d stat que l34; fil capl; s l34
FILE 'REGISTRY' ENTERED AT 17:18:44 ON 28 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 DEC 2006 HIGHEST RN 916420-05-8
DICTIONARY FILE UPDATES: 27 DEC 2006 HIGHEST RN 916420-05-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

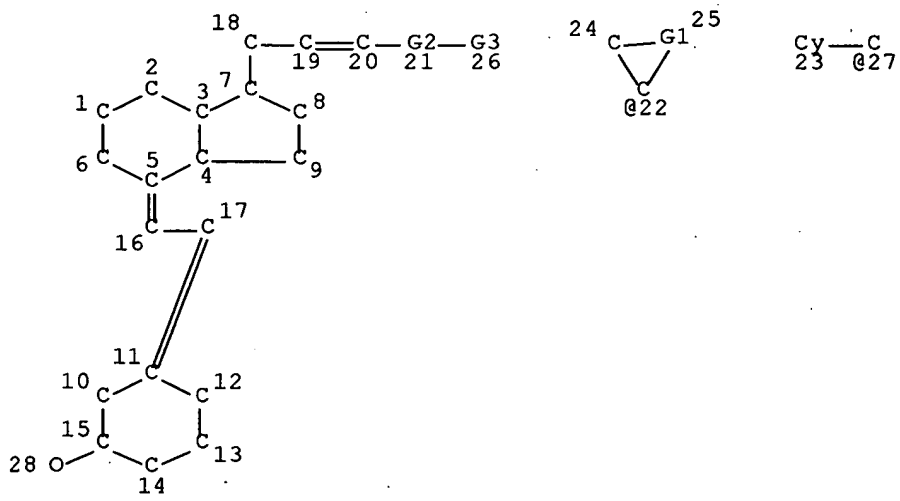
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

L28 STR



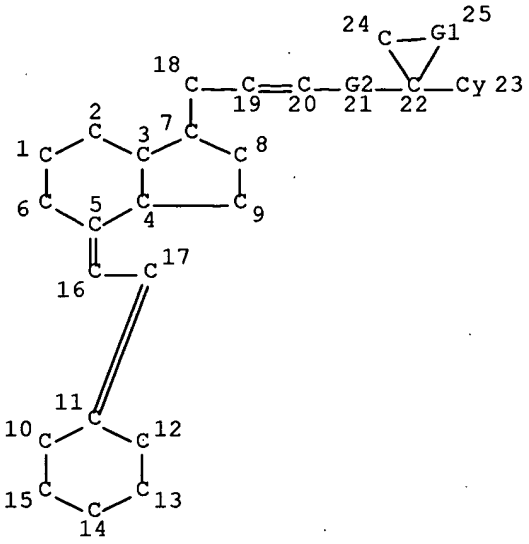
FULL FILE SEARCH DONE ON THIS STRUCTURE

REP G1=(1-5) C
REP G2=(1-10) C
VAR G3=22/27
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 23
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE
L30 941 SEA FILE=REGISTRY SSS FUL L28
L32 STR



SUBSET SEARCH DONE ON THIS STRUCTURE

REP G1=(1-5) C
REP G2=(1-10) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 23
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
L34 370 SEA FILE=REGISTRY SUB=L30 SSS FUL L32

100.0% PROCESSED 941 ITERATIONS 370 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 17:18:44 ON 28 DEC 2006

databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 28 Dec 2006 VOL 146 ISS 1
FILE LAST UPDATED: 27 Dec 2006 (20061227/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html
'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L37 8 L34

=> s l37 not l16
L38 6 L37 NOT L16

=> fil biosis prousddr; s l34
FILE 'BIOSIS' ENTERED AT 17:19:10 ON 28 DEC 2006
Copyright (c) 2006 The Thomson Corporation

FILE 'PROUSDDR' ENTERED AT 17:19:10 ON 28 DEC 2006
COPYRIGHT (C) 2006 Prous Science

L39 11 L34

=> dup rem l38,l39
DUPLICATE IS NOT AVAILABLE IN 'PROUSDDR'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
FILE 'CAPLUS' ENTERED AT 17:19:17 ON 28 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'BIOSIS' ENTERED AT 17:19:17 ON 28 DEC 2006
Copyright (c) 2006 The Thomson Corporation

FILE 'PROUSDDR' ENTERED AT 17:19:17 ON 28 DEC 2006
COPYRIGHT (C) 2006 Prous Science
PROCESSING COMPLETED FOR L38
PROCESSING COMPLETED FOR L39
L40 15 DUP REM L38 L39 (2 DUPLICATES REMOVED)
ANSWERS '1-6' FROM FILE CAPLUS
ANSWERS '7-14' FROM FILE BIOSIS
ANSWER '15' FROM FILE PROUSDDR

=> d ibib ed abs hitstr 1-6; d iall 7-15

L40 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2003:48099 CAPLUS Full-text
DOCUMENT NUMBER: 138:281323
TITLE: A novel immunosuppressive 1α,25-dihydroxyvitamin D3 analog with reduced hypercalcemic activity
AUTHOR(S): Zugel, Ulrich; Steinmeyer, Andreas; Giesen, Claudia; Asadullah, Khusru
CORPORATE SOURCE: Research Business Area Dermatology, Berlin, 13342, Germany

SOURCE: Journal of Investigative Dermatology (2002), 119(6), 1434-1442
CODEN: JIDEAE; ISSN: 0022-202X
PUBLISHER: Blackwell Publishing, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 21 Jan 2003

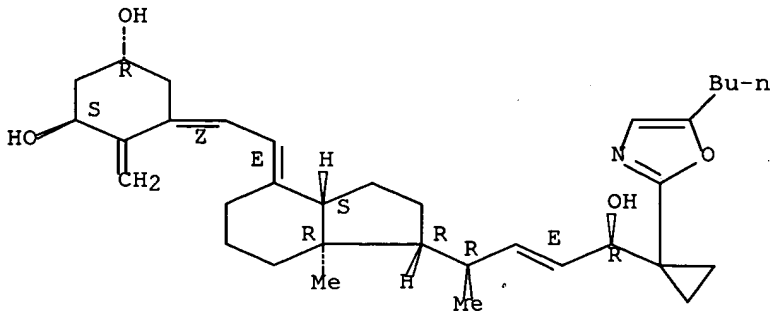
AB 1α,25-Dihydroxyvitamin D3, the biol. active form of vitamin D3, is a potent immunomodulatory mol.; however, its clin. use as an immunosuppressant is limited due to its strong effects on calcium homeostasis and the risk of associated side-effects. Here, we present a representative of a novel class of vitamin D analogs that exhibits potent immunosuppressive activity in a murine model of contact hypersensitivity when applied systemically and is efficacious also at non-hypercalcemic dosages. In vitro anal. revealed a binding affinity of ZK 191784 to the vitamin D receptor comparable with 1,25-dihydroxyvitamin D3. This compound inhibits lymphocyte proliferation and secretion of tumor necrosis factor α and interleukin-12 in monocytes in a concentration-dependent manner, but with reduced potency and efficacy than 1,25-dihydroxyvitamin D3. Treatment of human monocytes with this analog significantly reduces expression of major histocompatibility complex class II, B7.1, and intercellular adhesion mol.-1 equipotent to 1,25-dihydroxyvitamin D3. Interestingly, the compound failed to induce vitamin D-induced differentiation of human promyelocytic leukemia cell line HL-60 to monocytes and was capable of antagonizing the action of 1,25-dihydroxyvitamin D3. In vivo, as analyzed in mice the compound potently inhibits the contact hypersensitivity when applied systemically. ZK 191784 has a clear therapeutic advantage over 1,25-dihydroxyvitamin D3 by inducing immunosuppressive effects also at concns. that do not cause hypercalcemia. ZK 191784 is the first representative of a novel class of vitamin D analogs that might have therapeutic potential in T cell-mediated immune disorders.

IT **198760-31-5**, ZK 191784
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel immunosuppressive 1α,25-dihydroxyvitamin D3 analog with reduced hypercalcemic activity)

RN 198760-31-5 CAPLUS

CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

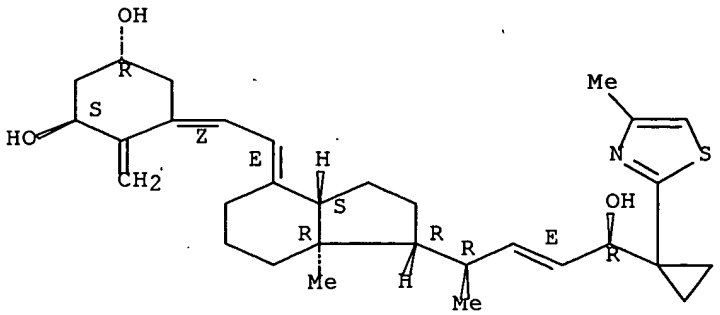
Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2001:774814 CAPLUS Full-text
DOCUMENT NUMBER: 136:144769
TITLE: Butyrate-Induced Differentiation of Caco-2 Cells Is Mediated by Vitamin D Receptor
AUTHOR(S): Gaschott, Tanja; Werz, Oliver; Steinmeyer, Andreas; Steinhilber, Dieter; Stein, Juergen
CORPORATE SOURCE: Second Department of Medicine, Johann Wolfgang Goethe University, Frankfurt/Main, Germany
SOURCE: Biochemical and Biophysical Research Communications (2001), 288(3), 690-696
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 25 Oct 2001
AB Butyrate in combination with 1,25-dihydroxyvitamin D3 [1,25-(OH)2D3] produces a synergistic effect on cell differentiation of human colon cancer cells (Caco-2). The objective of this study was to confirm the role of the vitamin D receptor (VDR) in butyrate-induced cell differentiation of Caco-2. We studied the effects of the novel VDR antagonist ZK 191732 on butyrate-induced cell differentiation and on p21Waf1/Cip1 expression. Butyrate induced cell differentiation which was further enhanced after addition of 1,25-(OH)2D3. Expts. using ZK 191732 indicate that the synergistic effect of butyrate and 1,25-(OH)2D3 was due to butyrate-induced upregulation of VDR. While butyrate alone increased expression of p21Waf1/Cip1 and combined exposure of butyrate and 1,25-(OH)2D3 resulted in a synergistic amplification, p21Waf1/Cip1 expression did not change from the control level after treatment with butyrate plus ZK 191732. These data further imply that butyrate-induced differentiation and p21Waf1/Cip1 expression of Caco-2 cells occur via upregulation of VDR. (c) 2001 Academic Press.
IT **198760-02-0**, ZK 191732
RL: PAC (Pharmacological activity); BIOL (Biological study)
(butyrate-induced differentiation of Caco-2 cells is mediated by vitamin D receptor)
RN 198760-02-0 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

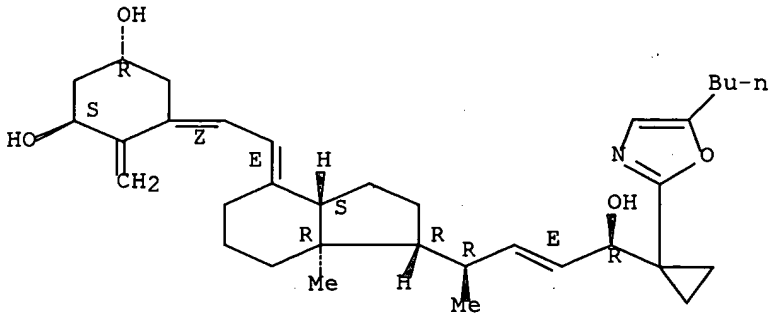
Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:1088802 CAPLUS Full-text
DOCUMENT NUMBER: 145:370203
TITLE: The novel vitamin D analog ZK191784 as an intestine-specific vitamin D antagonist
AUTHOR(S): Nijenhuis, Tom; van der Eerden, Bram C. J.; Zugel, Ulrich; Steinmeyer, Andreas; Weinans, Harrie; Hoenderop, Joost G. J.; van Leeuwen, Johannes P. T. M.; Bindels, Rene J. M.
CORPORATE SOURCE: Department of Physiology, Nijmegen Centre for Molecular Life Sciences, Radboud University Nijmegen Medical Centre, Nijmegen, NL-6500 HB, Neth.
SOURCE: FASEB Journal (2006), 20(12), 2171-2173
CODEN: FAJOEC; ISSN: 0892-6638
PUBLISHER: Federation of American Societies for Experimental Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 19 Oct 2006
AB Vitamin D [1,25(OH)2D3] plays a crucial role in Ca2+ homeostasis by stimulating Ca2+ (re)absorption and bone turnover. The 1,25(OH)2D3 analog ZK191784 was recently developed to dissociate the therapeutic immunomodulatory activity from the hypercalcemic side effects of 1,25(OH)2D3 and contains a structurally modified side chain characterized by a 22,23-double bond, 24R-hydroxy group, 25-cyclopropyl ring, and 5-butyloxazole unit. We investigated the effect of ZK191784 on Ca2+ homeostasis and the regulation of Ca2+ transport proteins in wild-type (WT) mice and mice lacking the renal epithelial Ca2+ channel TRPV5 (TRPV5-/-). The latter display hypercalciuria, hypervitaminosis D, increased intestinal expression of the epithelial Ca2+ channel TRPV6, the Ca2+-binding protein calbindin-D9K, and intestinal Ca2+ hyperabsorption. ZK191784 normalized the Ca2+ hyperabsorption and the expression of intestinal Ca2+ transport proteins in TRPV5-/- mice. Furthermore, the compound decreased intestinal Ca2+ absorption in WT mice and reduced 1,25(OH)2D3-dependent 45Ca2+ uptake by Caco-2 cells, substantiating a 1,25(OH)2D3-antagonistic action of ZK191784 in the intestine. ZK191784 increased renal TRPV5 and calbindin-D28K expression and decreased urine Ca2+ excretion in WT mice. Both 1,25(OH)2D3 and ZK191784 enhanced transcellular Ca2+ transport in primary cultures of rabbit connecting tubules and cortical collecting ducts, indicating a 1,25(OH)2D3-agonistic effect in kidney. ZK191784 enhanced bone TRPV6 mRNA levels and 1,25(OH)2D3 as well as ZK191784 stimulated secretion of the bone formation marker osteocalcin in rat osteosarcoma cells, albeit to a different extent. In conclusion, ZK191784 is a synthetic 1,25(OH)2D3 ligand displaying a unique tissue-specific profile when administered in vivo. Because ZK191784 acts as an intestine-specific 1,25(OH)2D3 antagonist, this compound will be associated with less hypercalcemic side effects compared with the 1,25(OH)2D3 analogs currently used in clin. practice.
IT **198760-31-5**, ZK191784
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel vitamin D analog ZK191784 as an intestine-specific vitamin D antagonist in relation to Ca2+ homeostasis)
RN 198760-31-5 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:396848 CAPLUS Full-text
DOCUMENT NUMBER: 138:401957
TITLE: Method for producing vitamin D derivatives with acyloxy groups at the 24-position of the side chain thereof in production of medicaments
INVENTOR(S): Steinmeyer, Andreas; Zuegel, Ulrich
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003042171	A1	20030522	WO 2002-EP11805		20021022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
DE 10156596	A1	20030528	DE 2001-10156596		20011113
US 2003166622	A1	20030904	US 2002-292908		20021113
PRIORITY APPLN. INFO.:			DE 2001-10156596	A	20011113
			US 2001-331386P	P	20011115

OTHER SOURCE(S): CASREACT 138:401957; MARPAT 138:401957
ED Entered STN: 23 May 2003
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel vitamin D derivs., e.g., I [R1 = R2 = H; R1R2 = CH2; R3, R4 = H, F, Cl,C1-4-alkyl, ; R3R4 = CH2; A = C(X)R5, C(X)NHR5,

C(X)N(R5)2, P(O)(OR5)2, SO2R5; X = O, S; R5 = straight or branched, (un)saturated C1-10-alkyl (may contain 1 - 3 OH's), CO2R12, CONR10R11, P(O)(OR10)2, SO3R10, SO2NR10R11, NR10R11; R10, R11 = H, straight or branched, (un)saturated C1-10-alkyl, (un)substituted C5-12-aryl, -heteroaryl, Ph, CH2Ph, 2-, 3-, 4-pyridyl; Y1, Y2 = H, C(O)R6; R6 = (un)substituted C5-12-aryl, -heteroaryl, straight or branched, (un)saturated C1-12-alkyl; Z = straight or branched, (un)saturated C2-12-oxoalkyl, 1-oxo-(C3-7)-cycloalkyl, COPh, 2-pyridylcarbonyl, CN, CO2R7, C(O)SR7, CONHR7, CONR7R8; R7, R8 = H, (un)saturated C1-8-alkyl, C3-8-cycloalkyl, (un)saturated C1-12-alkyl, etc.; R9 = C1-6-alkyl,CH2Ph, Ph; dashed line = single or double bond], to a method for the production thereof and to the use thereof in the production of medicaments. The procedure for the preparation of I is characterized by reaction of I (A = H) with Hal-A (Hal = Cl, Br) or A2O. Thus, II (R = COCMe3) was prepared from (5Z,7E,1S,3R)-1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-25-(5-butyloxazol-2-yl)-26,27-cyclo-9,10-secocholesta-5,7,10(19)-trien-24-ol (II; R' = H) in pyridine via reaction with pivaloyl chloride and catalytic DMAP followed by desilylation with hydrogen fluoride-pyridine complex in THF and separation of diastereomers. The biol. activity of II (R = COCMe3) was determined [competition factor KF >100; dose relation DR > 170 (HL-60 cells); DR > 1000 (hypercalcemia); inactive].

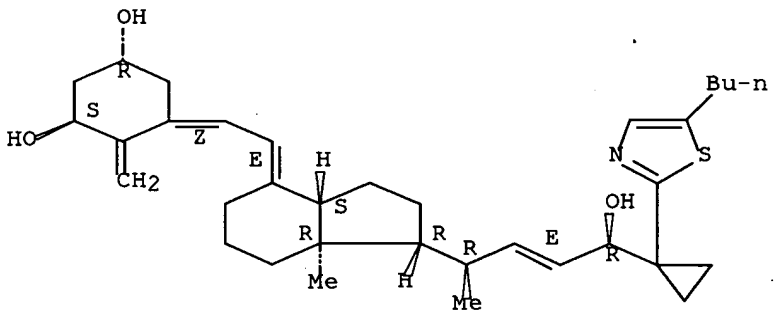
IT **198760-35-9**

RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by nicotinoyl and benzoyl chlorides; preparation of vitamin D
derivs. with acyloxy groups at 24-position of side chain for treatment of hypercalcemia)

RN 198760-35-9 CAPLUS

CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-thiazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **198760-31-5**

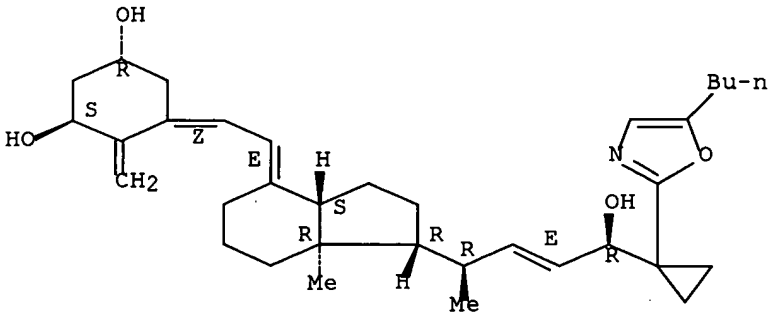
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparative analogs, hypercalcemia swelling dose; preparation of vitamin D derivs. with acyloxy groups at 24-position of side chain for treatment of hypercalcemia)

RN 198760-31-5 CAPLUS

CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

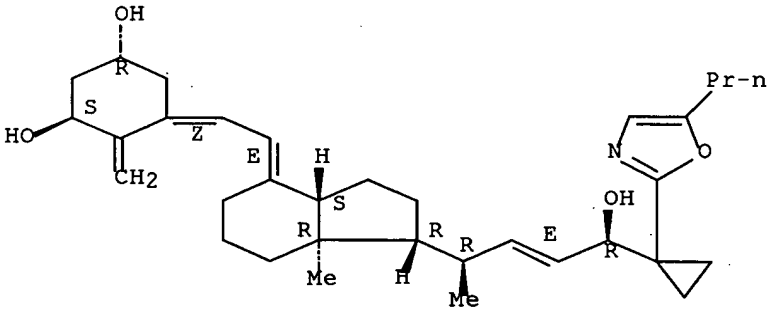


IT **198759-96-5 198759-97-6 198759-98-7 198759-99-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparative analogs; preparation of vitamin D derivs. with acyloxy groups at 24-position of side chain for treatment of hypercalcemia)

RN 198759-96-5 CAPLUS

CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-propyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

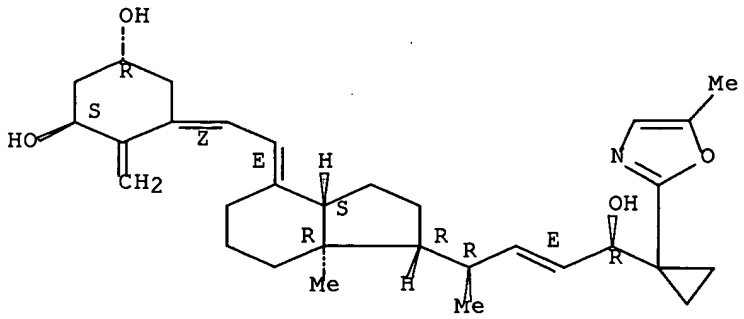
Absolute stereochemistry.
Double bond geometry as shown.



RN 198759-97-6 CAPLUS

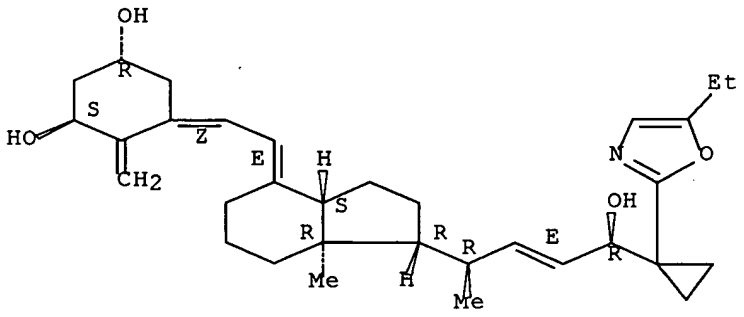
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-methyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



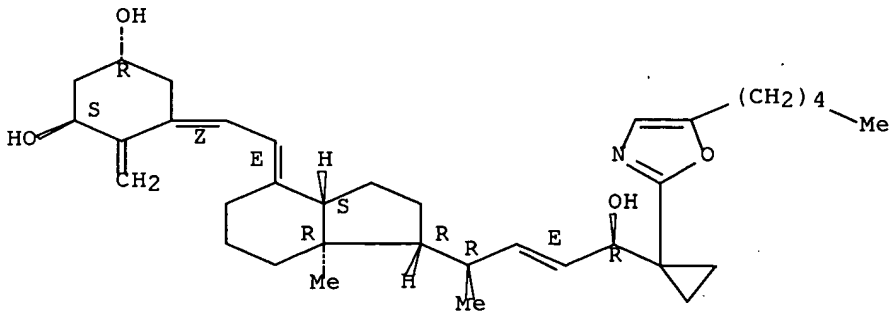
RN 198759-98-7 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-ethyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 198759-99-8 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-pentyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

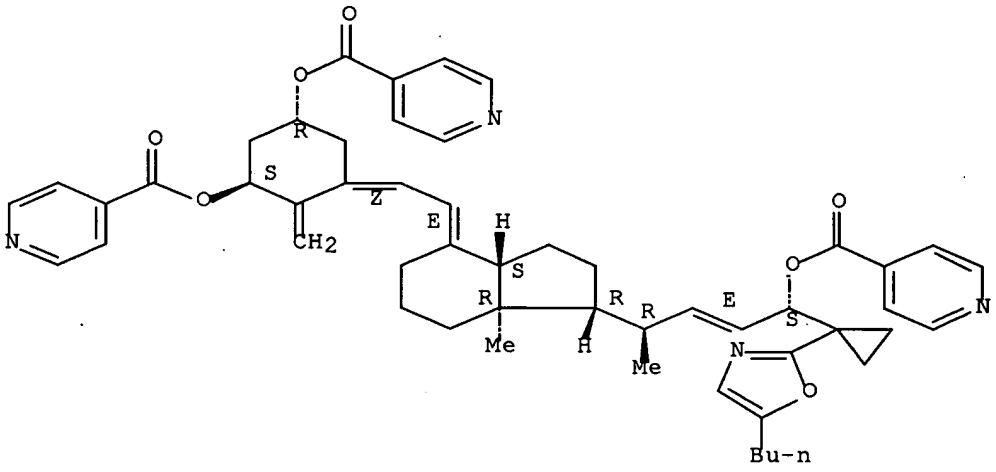


IT **528599-92-0P 528599-93-1P 528599-94-2P**
528599-95-3P 528600-70-6P 528600-71-7P
528600-72-8P 528600-73-9P 528601-02-7P

528601-03-8P 528601-04-9P 528601-05-0P
528601-35-6P 528601-36-7P 528601-37-8P
528601-38-9P 528601-67-4P 528601-68-5P
528601-69-6P 528601-70-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of vitamin D derivs. with acyloxy groups at 24-position of side chain for treatment of hypercalcemia)

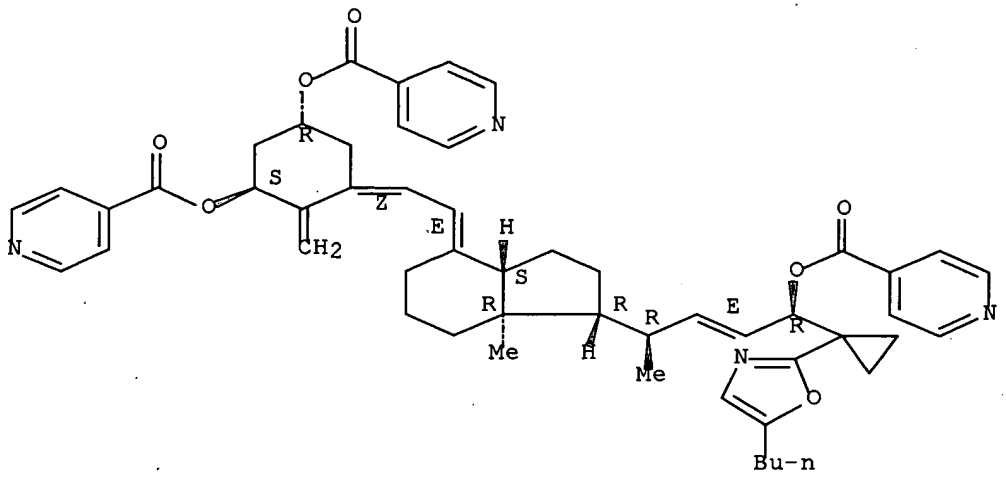
RN 528599-92-0 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, tri-4-pyridinecarboxylate (ester), (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



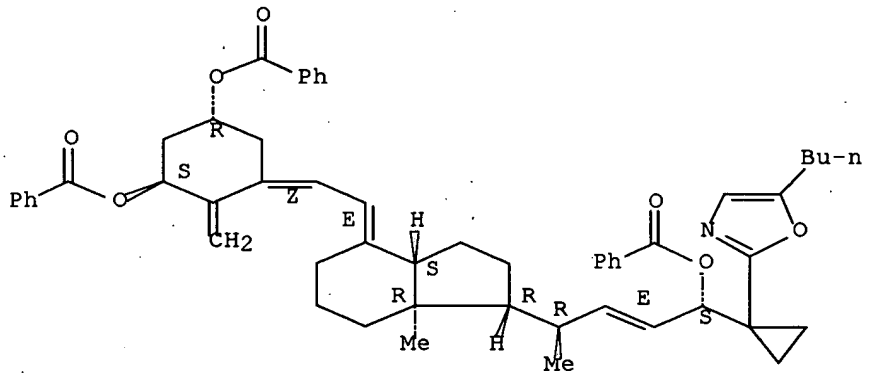
RN 528599-93-1 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, tri-4-pyridinecarboxylate (ester), (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



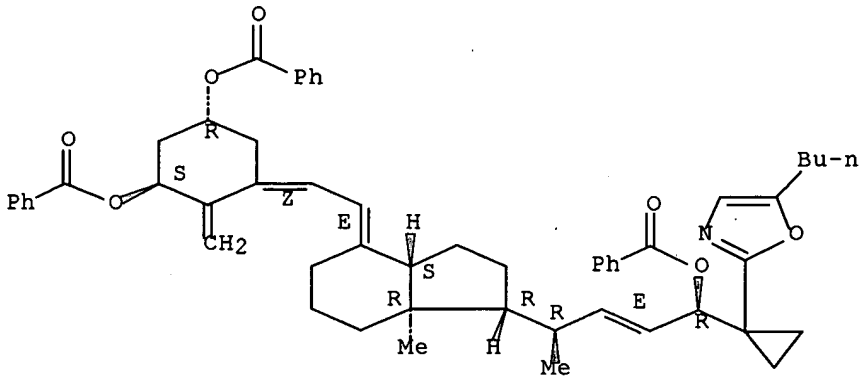
RN 528599-94-2 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, tribenzoate (ester), (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



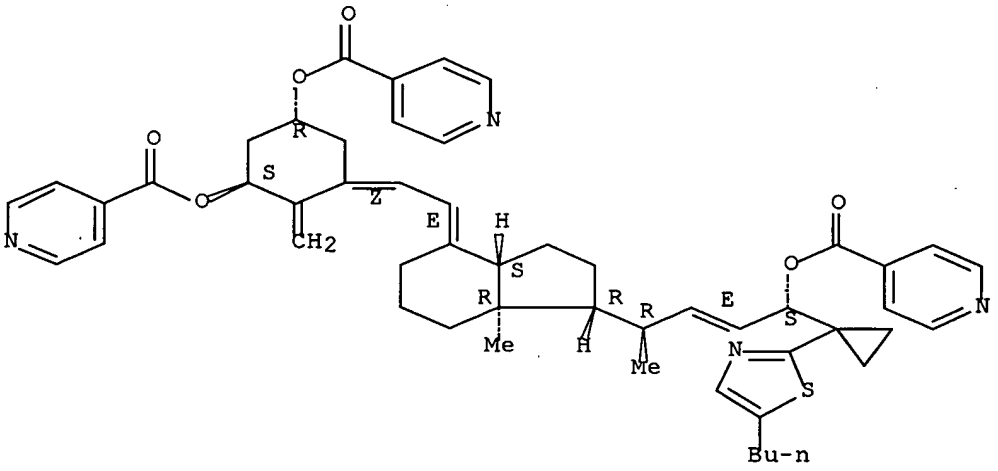
RN 528599-95-3 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, tribenzoate (ester), (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



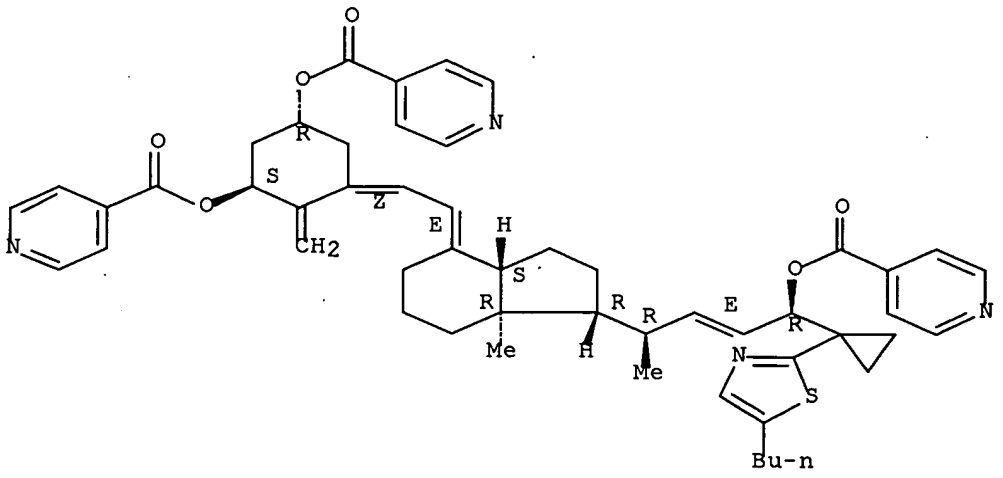
RN 528600-70-6 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-thiazolyl)cyclopropyl]-, tri-4-pyridinecarboxylate (ester), (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



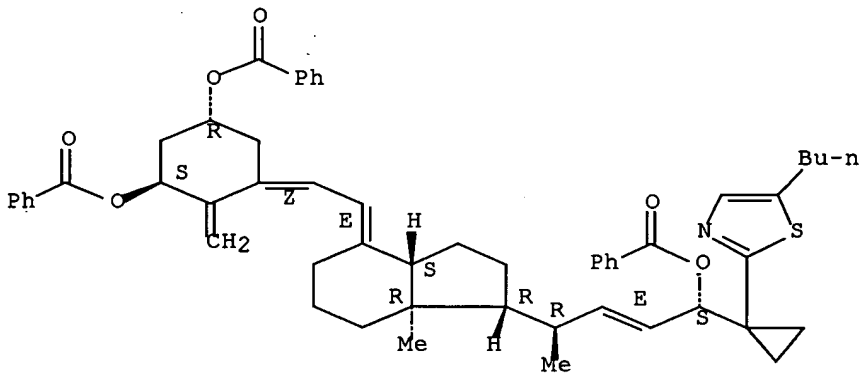
RN 528600-71-7 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-thiazolyl)cyclopropyl]-, tri-4-pyridinecarboxylate (ester), (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



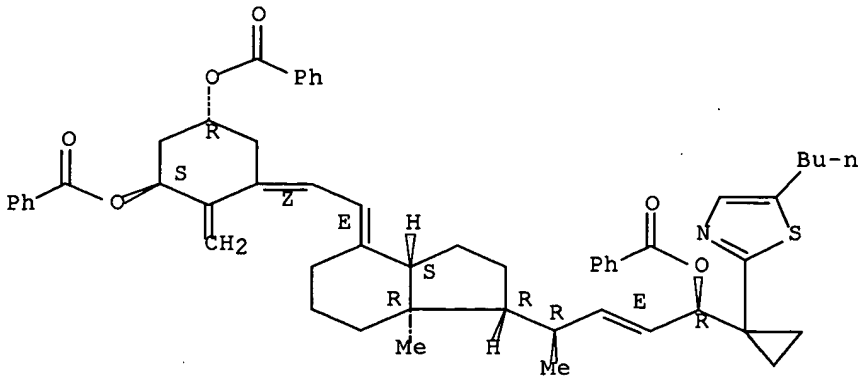
RN 528600-72-8 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-thiazolyl)cyclopropyl]-, tribenzoate (ester), (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



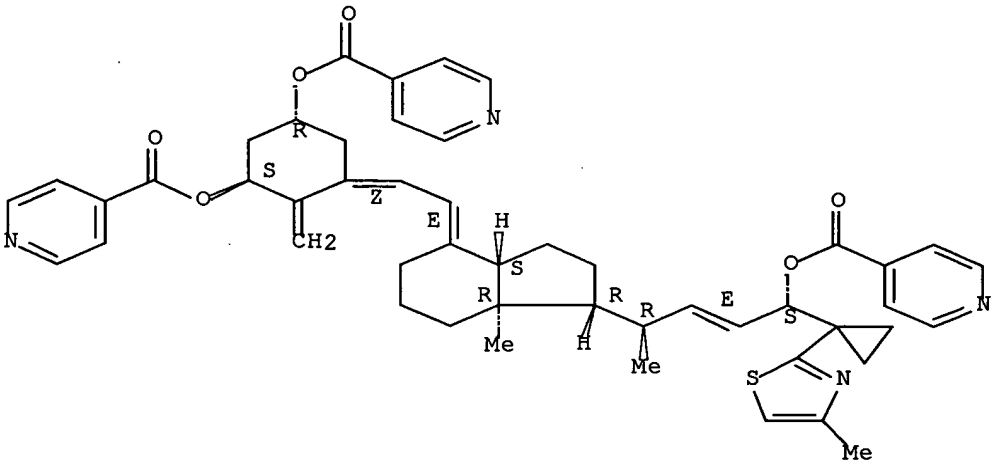
RN 528600-73-9 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-thiazolyl)cyclopropyl]-, tribenzoate (ester), (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



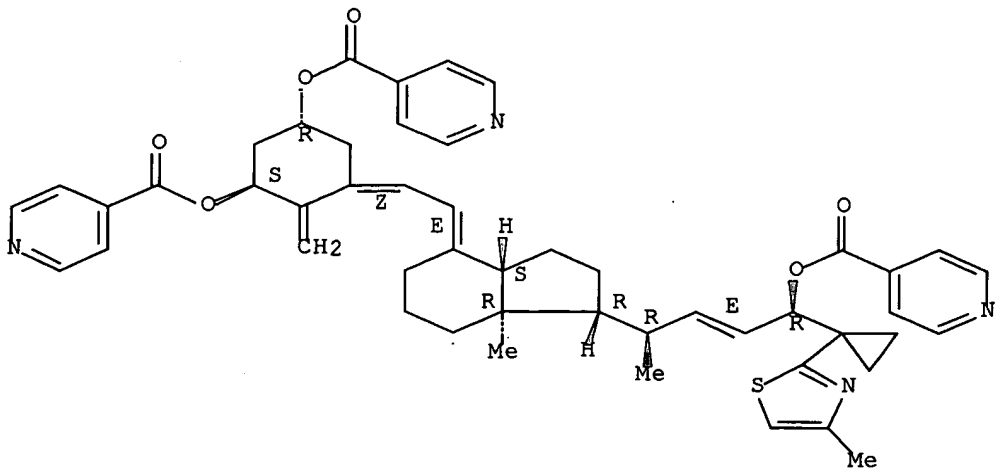
RN 528601-02-7 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, tri-4-pyridinecarboxylate, (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



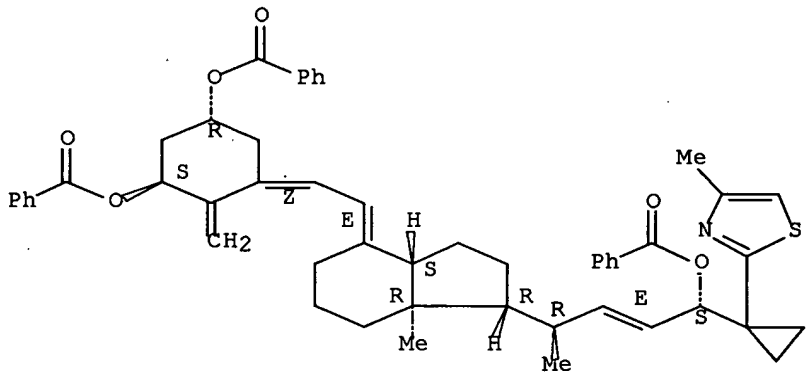
RN 528601-03-8 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, tri-4-pyridinecarboxylate (ester), (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



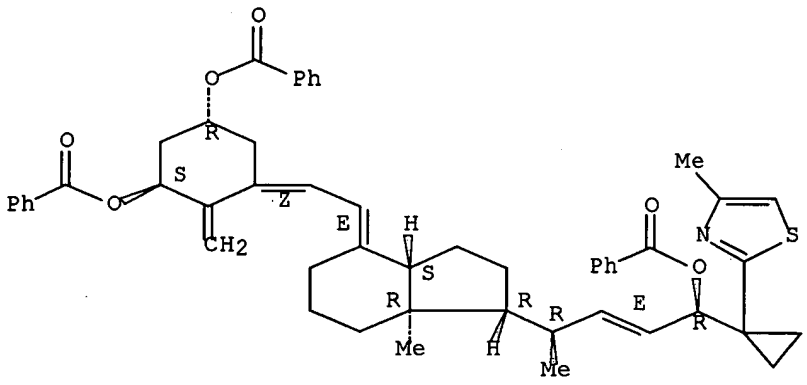
RN 528601-04-9 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, tribenzoate (ester), (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



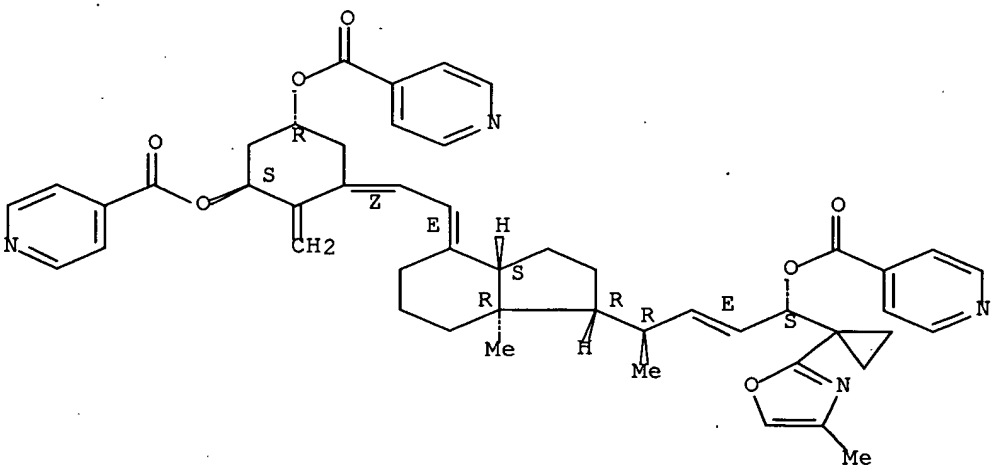
RN 528601-05-0 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, tribenzoate (ester), (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



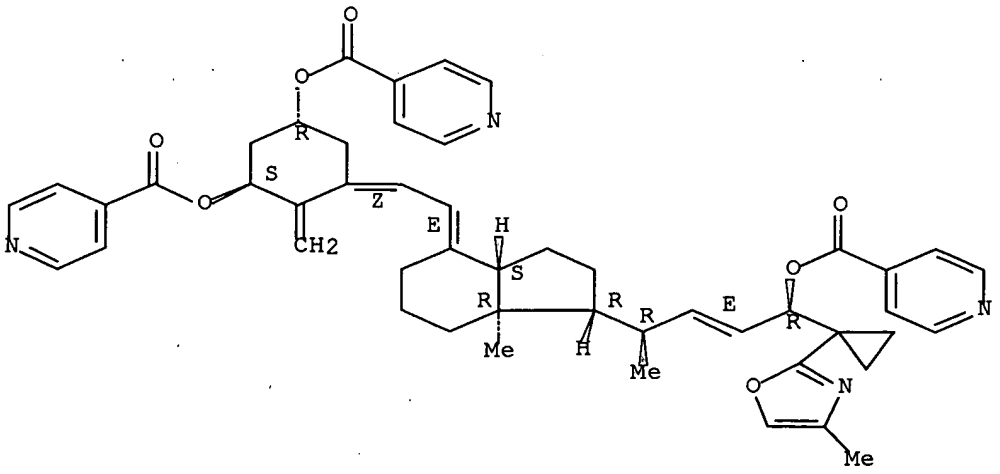
RN 528601-35-6 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-oxazolyl)cyclopropyl]-, tri-4-pyridinecarboxylate (ester), (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



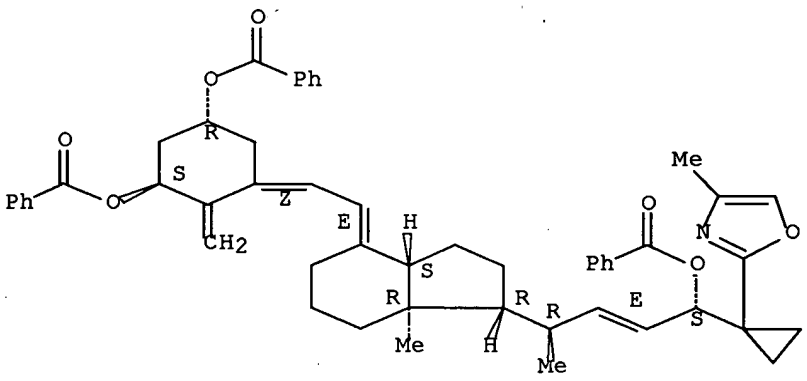
RN 528601-36-7 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-oxazolyl)cyclopropyl]-, tri-4-pyridinecarboxylate (ester), (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



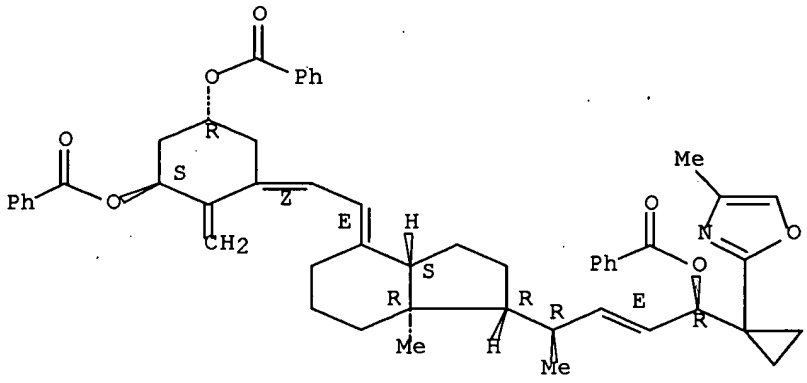
RN 528601-37-8 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-oxazolyl)cyclopropyl]-, tribenzoate (ester), (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



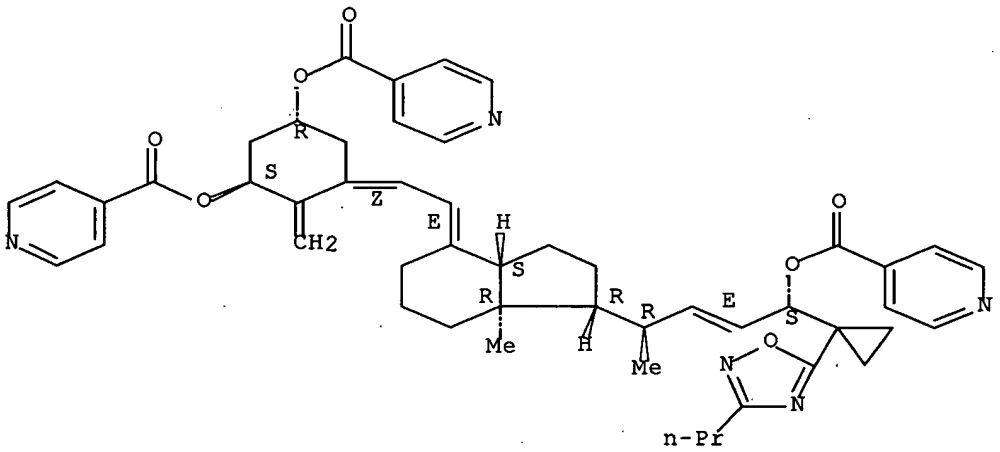
RN 528601-38-9 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-oxazolyl)cyclopropyl]-, tribenzoate (ester), (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



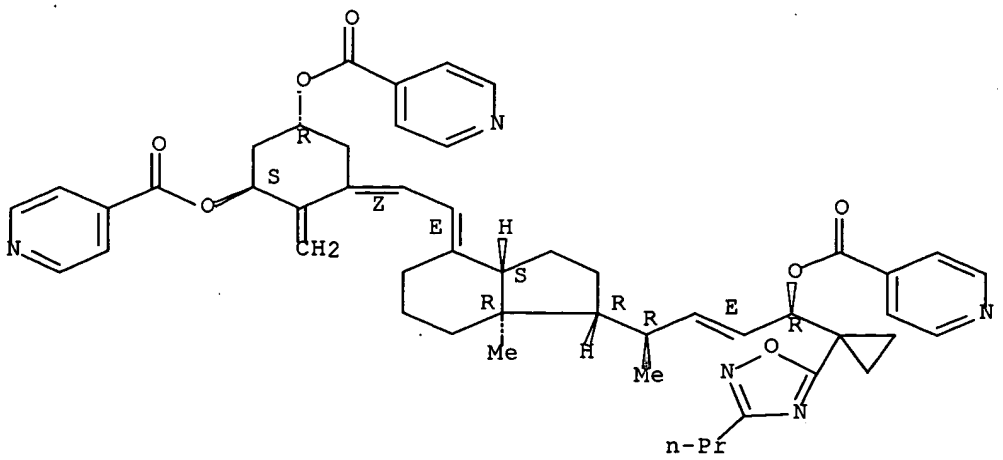
RN 528601-67-4 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(3-propyl-1,2,4-oxadiazol-5-yl)cyclopropyl]-, tri-4-pyridinecarboxylate (ester), (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



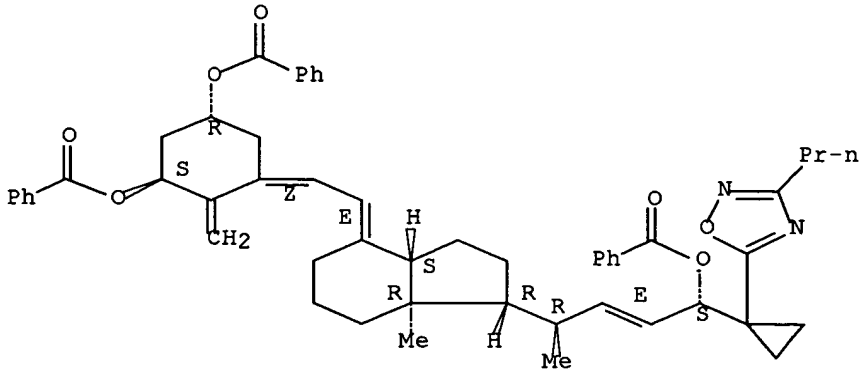
RN 528601-68-5 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(3-propyl-1,2,4-oxadiazol-5-yl)cyclopropyl]-, tri-4-pyridinecarboxylate (ester), (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



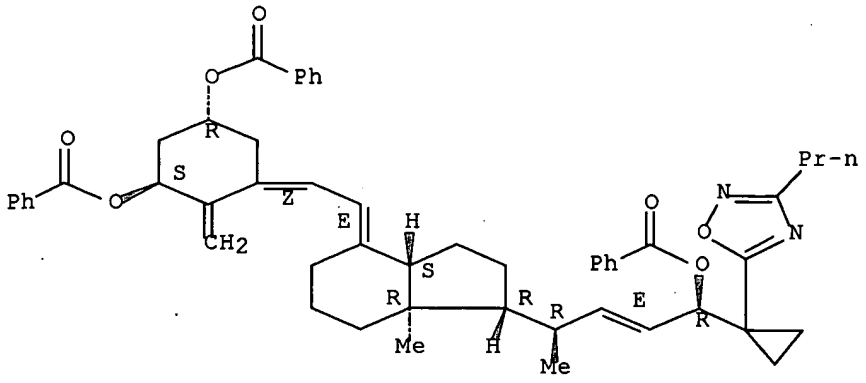
RN 528601-69-6 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(3-propyl-1,2,4-oxadiazol-5-yl)cyclopropyl]-, tribenzoate, (1α,3β,5Z,7E,22E,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 528601-70-9 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(3-propyl-1,2,4-oxadiazol-5-yl)cyclopropyl]-, tribenzoate, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

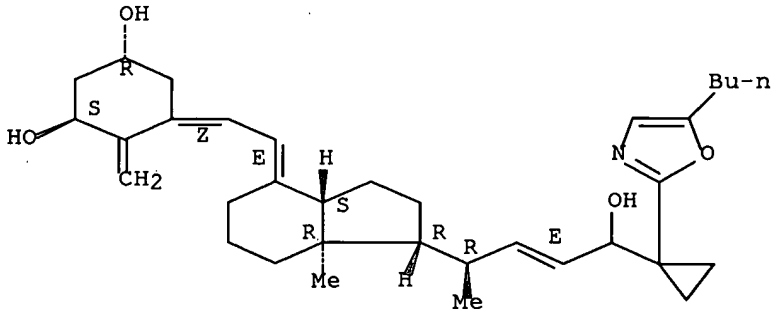
L40 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:796311 CAPLUS Full-text
DOCUMENT NUMBER: 139:317460
TITLE: Agent inhibiting expression of general transcription factor with interactive relation to steroid hormone receptor as treating agent for Paget's disease of bone
INVENTOR(S): Ishizuka, Seiichi; Takenouchi, Kazuya; Imaizumi, Atsushi; Oue, Yasuhiro; Kurihara, Noriyoshi; Reddy, Sakamuri V.; Roodman, G. David
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 79,890.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003191094	A1	20031009	US 2003-369752	20030221
PRIORITY APPLN. INFO.:			US 2002-79890 A2	20020222

OTHER SOURCE(S): MARPAT 139:317460
ED Entered STN: 10 Oct 2003
AB To obtain a treating agent for Paget's disease of bone, there is provided a method of inhibiting expression of general transcription factor of steroid hormone receptor. A method for screening a compound for treatment of Paget's disease of bone comprises detecting expression of TAFII-17, TAFII-135, and DRIP-205 transcription factors in mononuclear cells from bone marrow collected from patients with the disease. Compound (23S)-25-dehydro-1α-hydroxyvitamin D3-26,23-lactone suppressed expression of the gene for transcription factor TAFII-17 in bone marrow mononuclear cells from patients with Paget's disease of bone. The compound also suppressed osteoclast formation.
IT **593245-74-0 593245-75-1 593245-76-2 593245-77-3 593245-82-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(agent inhibiting expression of general transcription factor with interactive relation to steroid hormone receptor as treating agent for Paget's disease of bone)
RN 593245-74-0 CAPLUS

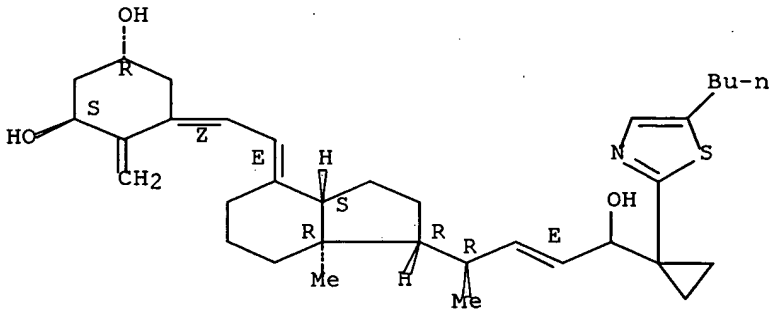
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



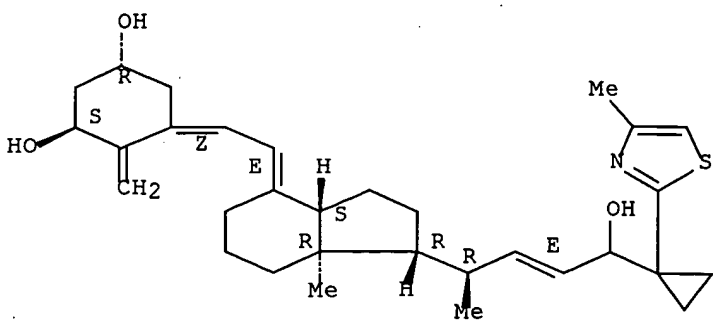
RN 593245-75-1 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-thiazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



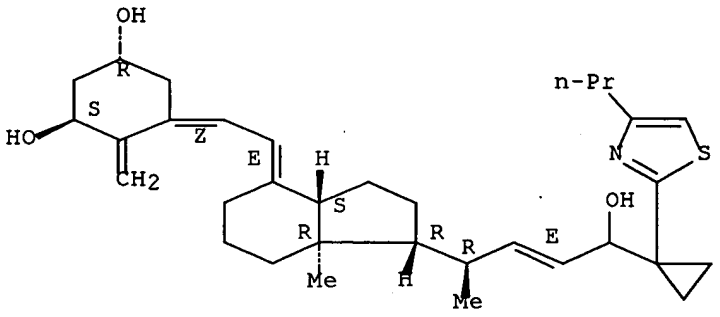
RN 593245-76-2 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



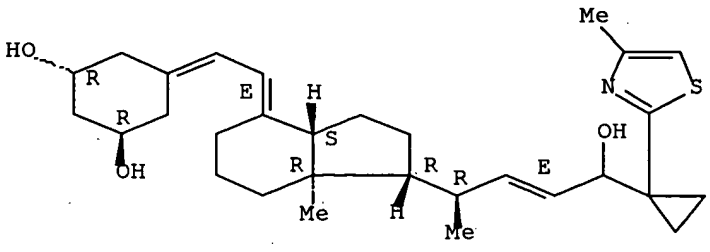
RN 593245-77-3 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-propyl-2-thiazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 593245-82-0 CAPLUS
CN 19-Nor-9,10-secochola-5,7,22-triene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, (1α,3β,7E,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L40 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:717265 CAPLUS Full-text
DOCUMENT NUMBER: 139:240380
TITLE: Compound inhibiting expression of general transcription factor of steroid hormone receptor for

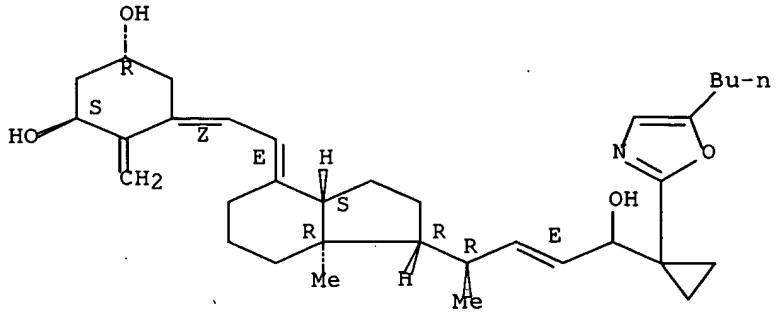
treatment of Paget's disease of bone
INVENTOR(S): Ishizuka, Seiichi; Takenouchi, Kazuya; Imaizumi, Atsushi; Oue, Yasuhiro; Kurihara, Noriyoshi; Reddy, Sakamuri V.; Roodman, David G.
PATENT ASSIGNEE(S): Teijin Limited, Japan
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1342796	A2	20030910	EP 2003-251072	20030221
EP 1342796	A3	20040102		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-79890 A 20020222
OTHER SOURCE(S): MARPAT 139:240380
ED Entered STN: 12 Sep 2003
AB To obtain a treating agent for Paget's disease of bone, there is provided a method of inhibiting expression of general transcription factor of steroid hormone receptor. Expression of the gene for the transcription factor TAFII-17 in bone marrow mononuclear cells from patients with Paget's disease was suppressed with (23S)-25-dehydro-1-hydroxyvitamin D3-26,23-lactone (I). I suppressed the gene expression even in the presence of 1α,25-dihydroxyvitamin D3 which induces its expression. The TAFII-17 gene was not expressed in bone marrow cells from normal adults. I also suppressed osteoclast formation induced by 1α,25-dihydroxyvitamin D3.
IT **593245-74-0 593245-75-1 593245-76-2 593245-77-3 593245-82-0**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxyvitamin D3 compds. inhibiting expression of general transcription factor of steroid hormone receptors for treatment of Paget's bone disease)
RN 593245-74-0 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E)- (9CI) (CA INDEX NAME)

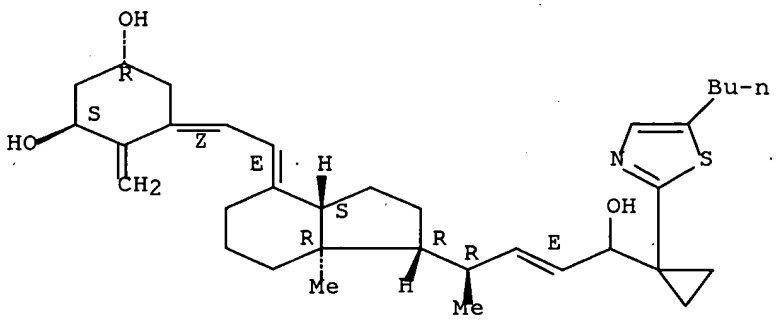
Absolute stereochemistry.
Double bond geometry as shown.



RN 593245-75-1 CAPLUS

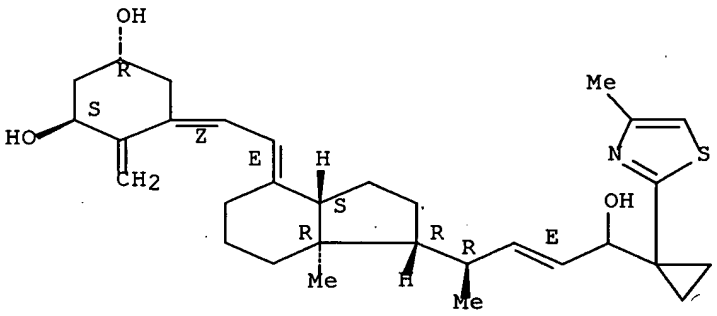
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-thiazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



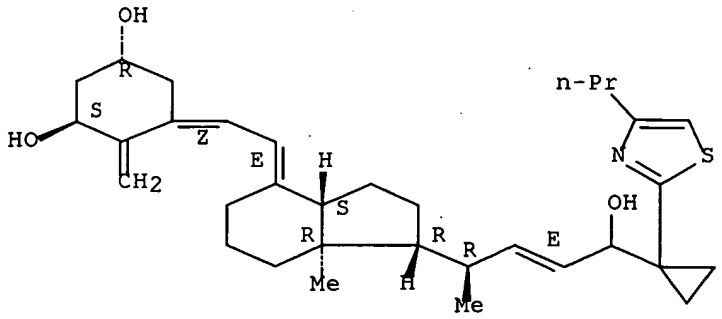
RN 593245-76-2 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



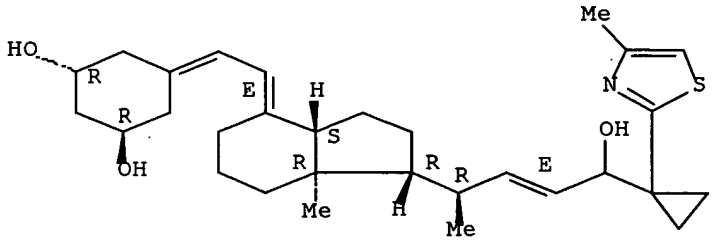
RN 593245-77-3 CAPLUS
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-propyl-2-thiazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 593245-82-0 CAPLUS
CN 19-Nor-9,10-secochola-5,7,22-triene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, (1α,3β,7E,22E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L40 ANSWER 7 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2006:410639 BIOSIS Full-text
DOCUMENT NUMBER: PREV200600413652
TITLE: Tissue-specific partial vitamin D agonism/antagonism in calcium and bone homeostasis by the novel vitamin D analog ZK191784.
AUTHOR(S): Van der Eerden, B. C. J. [Reprint Author]; Nijenhuis, T.; Hoenderop, J. G. J.; Pols, H. A. P.; Weinans, H.; Bindels, R. J. M.; Van Leeuwen, J. P. T. M.
SOURCE: Calcified Tissue International, (JAN 2006) Vol. 78, No. Suppl. 1, pp. S97-S98.
Meeting Info.: 33rd European Symposium on Calcified Tissues. Prague, CZECH REPUBLIC. May 10 -14, 2006.
CODEN: CTINDZ. ISSN: 0171-967X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 23 Aug 2006
Last Updated on STN: 23 Aug 2006
CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Biochemistry studies - Vitamins. 10063
Biochemistry studies - Sterols and steroids 10067

Biochemistry studies - Minerals 10069
Pathology - Therapy 12512
Nutrition - Malnutrition and obesity 13203
Bones, joints, fasciae, connective and adipose tissue - Physiology and biochemistry 18004
Pharmacology - General 22002
Pharmacology - Neuropharmacology 22024

INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Pharmacology; Skeletal System (Movement and Support)

INDEX TERMS: Parts, Structures, & Systems of Organisms
bone: skeletal system; femur: skeletal system

INDEX TERMS: Diseases
hypervitaminosis D: nutritional disease

INDEX TERMS: Chemicals & Biochemicals
vitamin D; calcium: homeostasis; TRPV5: expression; calbindin D-28k: expression; ZK191784: autonomic-drug, adrenergic antagonist-drug

ORGANISM: Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
mouse (common)
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates

REGISTRY NUMBER: 1406-16-2 (vitamin D)
7440-70-2 (calcium)
198760-31-5 (ZK191784)

L40 ANSWER 8 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2006:194902 BIOSIS Full-text
DOCUMENT NUMBER: PREV200600199590
TITLE: Vitamin D receptor antagonist ZK 191784 augments ApoA1 gene expression.
AUTHOR(S): Wehmeier, K. R. [Reprint Author]; Haas, M. J.; Beers, A. F.; Mooradian, A. D.
CORPORATE SOURCE: St Louis Univ, Sch Med, Dept Internal Med, Dept Endocrinol, St Louis, MO 63103 USA
SOURCE: Journal of Bone and Mineral Research, (SEP 2005) Vol. 20, No. 9, Suppl. 1, pp. S187.
Meeting Info.: 27th Annual Meeting of the American-Society-for-Bone-and-Mineral-Research. Nashville, TN, USA. September 23 -27, 2005. Amer Soc Bone & Mineral Res.
CODEN: JBMREJ. ISSN: 0884-0431.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 22 Mar 2006
Last Updated on STN: 22 Mar 2006
CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Cytology - Human 02508
Genetics - General 03502
Genetics - Human 03508
Biochemistry studies - Vitamins 10063
Biochemistry studies - Proteins, peptides and amino acids 10064

Biochemistry studies - Lipids 10066
Biochemistry studies - Sterols and steroids 10067
Cardiovascular system - Physiology and biochemistry 14504
Cardiovascular system - Heart pathology 14506
Cardiovascular system - Blood vessel pathology 14508

INDEX TERMS: Major Concepts
Cardiovascular System (Transport and Circulation); Molecular Genetics (Biochemistry and Molecular Biophysics)

INDEX TERMS: Diseases
coronary heart disease: heart disease
Coronary Disease (MeSH)

INDEX TERMS: Diseases
arteriosclerosis: vascular disease
Arteriosclerosis (MeSH)

INDEX TERMS: Chemicals & Biochemicals
vitamin D; high-density lipoprotein [HDL]; vitamin D receptor; 1-alpha,25-dihydroxyvitamin D3; ApoA1; ZK 191784

INDEX TERMS: Methods & Equipment
Western blotting: electrophoretic techniques, immunologic techniques, laboratory techniques

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
HepG2 cell line (cell_line): human hepatoma cells
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

REGISTRY NUMBER: 1406-16-2 (vitamin D)
198760-31-5 (ZK 191784)

GENE NAME: human ApoA1 gene (Hominidae): expression

L40 ANSWER 9 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2005:406335 BIOSIS Full-text

DOCUMENT NUMBER: PREV200510198154

TITLE: Potent immunomodulatory effects on immune cells mediated by a dissociated vitamin D3 Analog.

AUTHOR(S): Steinmeyer, A. [Reprint Author]; Asadullah, K.; Zuegel, U. A.

CORPORATE SOURCE: Schering AG, Med Chem, D-1000 Berlin, Germany

SOURCE: Journal of Investigative Dermatology, (APR 2005) Vol. 124, No. 4, Suppl. S, pp. A111.
Meeting Info.: 66th Annual Meeting of the Society-for-Investigative-Dermatology. St Louis, MO, USA. May 04 -07, 2005. Soc Investigat Dermatol.
CODEN: JIDEAE. ISSN: 0022-202X.

DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 12 Oct 2005
Last Updated on STN: 12 Oct 2005

CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Cytology - Animal 02506
Cytology - Human 02508
Biochemistry studies - General 10060
Biochemistry studies - Vitamins 10063

Biochemistry studies - Proteins, peptides and amino acids 10064
Blood - Blood and lymph studies 15002
Blood - Blood cell studies 15004
Immunology - General and methods 34502

INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Immune System (Chemical Coordination and Homeostasis)

INDEX TERMS: Parts, Structures, & Systems of Organisms
immune cell: immune system; monocyte: immune system, blood and lymphatics; T cell: immune system, blood and lymphatics; PBMC: immune system, blood and lymphatics, peripheral blood mononuclear cell; antigen presenting cell: immune system

INDEX TERMS: Chemicals & Biochemicals
cyclosporin A; HLA-DR: expression; DNFB; CD14: regulation; vitamin D3: analog; ZK 191784

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human (common)
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

REGISTRY NUMBER: 59865-13-3 (cyclosporin A)
67-97-0 (vitamin D3)
198760-31-5 (ZK 191784)

L40 ANSWER 10 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:455913 BIOSIS Full-text
DOCUMENT NUMBER: PREV200300455913
TITLE: Short-chain fatty acids and colon cancer cells: The vitamin D receptor: Butyrate connection.
AUTHOR(S): Gaschott, Tanja [Reprint Author]; Stein, Juergen
CORPORATE SOURCE: 2nd Department of Medicine, Johann Wolfgang Goethe University, Theodor-Stern-Kai 7, 60590, Frankfurt/Main, Germany
gaschott@em.uni-frankfurt.de
SOURCE: Reichrath, J. [Editor, Reprint Author]; Friedrich, M. [Editor]; Tilgen, W. [Editor, Reprint Author]. Recent Results Cancer Res., (2003) pp. 247-257. Vitamin D analogs in cancer prevention and therapy. print.
Publisher: Springer-Verlag GmbH & Co. KG, Heidelberger Platz 3, D-14197, Berlin, Germany. Series: Recent Results in Cancer Research.
Meeting Info.: First International Symposium "Vitamin D Analogs in Cancer Prevention and Therapy". Saar, Germany. May 03-04, 2002.
CODEN: RRCRBU. ISSN: 0080-0015. ISBN: 3-540-00290-1 (cloth).
DOCUMENT TYPE: Book; (Book Chapter)
Conference; (Meeting)
Conference; (Meeting Paper)
LANGUAGE: English
ENTRY DATE: Entered STN: 1 Oct 2003
Last Updated on STN: 1 Oct 2003
CONCEPT CODE: General biology - Symposia, transactions and proceedings

00520
Biochemistry studies - General 10060
Biochemistry studies - Nucleic acids, purines and pyrimidines 10062
Biochemistry studies - Vitamins 10063
Biochemistry studies - Proteins, peptides and amino acids 10064
Biochemistry studies - Lipids 10066
Biochemistry studies - Sterols and steroids 10067
Enzymes - General and comparative studies: coenzymes 10802
Pathology - General 12502
Pathology - Therapy 12512
Digestive system - Physiology and biochemistry 14004
Digestive system - Pathology 14006
Neoplasms - Pathology, clinical aspects and systemic effects 24004
Neoplasms - Therapeutic agents and therapy 24008

INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Digestive System (Ingestion and Assimilation); Tumor Biology

INDEX TERMS: Parts, Structures, & Systems of Organisms
colon: digestive system

INDEX TERMS: Diseases
colon cancer: digestive system disease, neoplastic disease, pathology
Colonic Neoplasms (MeSH)

INDEX TERMS: Chemicals & Biochemicals
1,25-dihydroxyvitamin D-3; ZK 191732; alkaline phosphatase [EC 3.1.3.1]; butyrate: antineoplastic-drug; cyclin A: downregulation; cyclin-dependent kinase 6: downregulation; p21-Waf1/Cip1: expression; short-chain fatty acids; tributyrin; vitamin D receptor; vitamin D receptor messenger RNA

INDEX TERMS: Methods & Equipment
PCR [polymerase chain reaction]: genetic techniques, laboratory techniques; Western blot analysis: genetic techniques, laboratory techniques; flow cytometry: histology and cytology techniques, laboratory techniques

INDEX TERMS: Miscellaneous Descriptors
cell cycle arrest; cell cycle progression

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
Caco-2 cell line (cell line): human colon cancer cells
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

REGISTRY NUMBER: 32222-06-3Q (1,25-dihydroxyvitamin D-3)
32511-63-0Q (1,25-dihydroxyvitamin D-3)
198760-02-0 (ZK 191732)
9001-78-9 (alkaline phosphatase)
9001-78-9 (EC 3.1.3.1)
461-55-2 (butyrate)
303014-92-8 (cyclin-dependent kinase 6)
60-01-5 (tributyrin)

L40 ANSWER 11 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

STN

ACCESSION NUMBER: 2003:539701 BIOSIS Full-text
DOCUMENT NUMBER: PREV200300542051
TITLE: A novel dissociated 1alpha,25 dihydroxyvitamin D3 analog with immunosuppressive activity in T cell-mediated skin inflammation.
AUTHOR(S): Zugel, U. A. [Reprint Author]; Steinmeyer, A.; Giesen, C.; Asadullah, K. [Reprint Author]
CORPORATE SOURCE: Research Business Area Dermatology, Schering AG, Berlin, Berlin, Germany
SOURCE: Journal of Investigative Dermatology, (July 2003) Vol. 121, No. 1, pp. 0851. print.
Meeting Info.: International Investigative Dermatology 2003 : Joint Meeting of the European Society for Dermatological Research, Japanese Society for Investigative Dermatology and Society for Investigative Dermatology. Miami Beach, Florida, USA. April 30-May 04, 2003. European Society for Dermatological Research.
ISSN: 0022-202X (ISSN print).
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 19 Nov 2003
Last Updated on STN: 19 Nov 2003
CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Cytology - Animal 02506
Biochemistry studies - Proteins, peptides and amino acids 10064
Biochemistry studies - Sterols and steroids 10067
Pathology - Therapy 12512
Metabolism - Metabolic disorders 13020
Blood - Blood and lymph studies 15002
Blood - Blood cell studies 15004
Endocrine - General 17002
Integumentary system - Physiology and biochemistry 18504
Integumentary system - Pathology 18506
Pharmacology - General 22002
Pharmacology - Immunological processes and allergy 22018
Pharmacology - Integumentary system, dental and oral biology 22020
Immunology - General and methods 34502
Immunology - Immunopathology, tissue immunology 34508
Allergy 35500
INDEX TERMS: Major Concepts
Blood and Lymphatics (Transport and Circulation); Immune System (Chemical Coordination and Homeostasis); Integumentary System (Chemical Coordination and Homeostasis); Pharmacology
INDEX TERMS: Parts, Structures, & Systems of Organisms
T cell: blood and lymphatics, immune system; lymphocyte: blood and lymphatics, immune system; monocyte: blood and lymphatics, immune system; skin: integumentary system
INDEX TERMS: Diseases
contact hypersensitivity: immune system disease, integumentary system disease
Dermatitis, Contact (MeSH)
INDEX TERMS: Diseases
hypercalcemia: metabolic disease
Hypercalcemia (MeSH)

INDEX TERMS: Diseases
skin inflammation: immune system disease, integumentary system disease
INDEX TERMS: Chemicals & Biochemicals
1 alpha,25 dihdyroxyvitamin D3: dermatological-drug, immunologic-drug, immunosuppressant-drug; B7.1: expression; ICAM-1 [intercellular adhesion molecule-1]: expression; IL-12 [interleukin-12]; MHC class II [major histocompatibility complex class II]: expression; TNF-alpha [tumor necrosis factor-alpha]; ZK 191784: dermatological-drug, immunologic-drug, immunosuppressant-drug; calcitriol: dermatological-drug, immunologic-drug, immunosuppressant-drug, efficacy, potency; vitamin D receptor
ORGANISM: Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
mouse (common)
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates
REGISTRY NUMBER: **198760-31-5** (ZK 191784)
32222-06-3 (calcitriol)

L40 ANSWER 12 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:583629 BIOSIS Full-text
DOCUMENT NUMBER: PREV200300573376
TITLE: IMMUNMODULATING AND PROTECTIVE EFFECTS OF A NEW VITAMIN D3 ANALOGUE IN ACUTE AND CHRONIC DSS-INDUCED COLITIS.
AUTHOR(S): Obermeier, Florian [Reprint Author]; Dunger, Nadja; Rath, Heiko C.; Steinmeyer, Andreas; Schoelmerich, Juergen; Zuegel, Ulrich; Herfarth, Hans
CORPORATE SOURCE: Regensburg, Germany
SOURCE: Digestive Disease Week Abstracts and Itinerary Planner, (2003) Vol. 2003, pp. Abstract No. T1135. e-file.
Meeting Info.: Digestive Disease 2003. FL, Orlando, USA. May 17-22, 2003. American Association for the Study of Liver Diseases; American Gastroenterological Association; American Society for Gastrointestinal Endoscopy; Society for Surgery of the Alimentary Tract.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 10 Dec 2003
Last Updated on STN: 10 Dec 2003

ABSTRACT:Besides its role in maintaining calcium homeostasis, Vitamin D3 (Vit.D3) probably has a role in the modulation of immune responses. In several experimental models of chronic inflammatory diseases such as rheumatoid arthritis Vit.D3 has been shown to prevent or markedly suppress the inflammatory process. However, its therapeutical application is limited by the induction of hypercalcaemia. We analyzed the effects of a new Vit.D3 analogue, ZK191784; which has far less hypercalcemic activity as Vit.D3 (J. Invest. Dermatol. 119; 2002) in acute and chronic DSS-induced colitis in mice. Methods: Acute (1 cycle of 5% DSS in drinking water for 7days) or chronic colitis (4 cycles 5% DSS) was induced in Balb/c mice. Treatment with ZK191784 (100mug/kg/day orally) or PBS (n=10/group) was started on day 3 before the start of DSS administration and maintained throughout day 7. In chronic

colitis treatment was performed before the first and before the third cycle of DSS for 7 days (n=8/group). The mice were killed on day 8 or after completion of the 4th cycle. Extent of colonic inflammation was estimated histologically (Score 0-8). IL-6, IL-10 and IFN-gamma secretion by unstimulated and CD3 stimulated mesenteric lymph node cells (LN) of treated and non-treated animals were analyzed. Colonic tissue expression of T-bet was measured quantitatively by Light-cycler PCR. Results: ZK191784 significantly downregulated acute and chronic DSS-induced intestinal inflammation($p<0.005$). Colonic T-bet mRNA expression was significantly suppressed in chronic colitis by ZK191784 treatment ($p<0.001$). The secretion of IFN-gammaand IL-6 by isolated mesenteric LN was suppressed by ZK191784 in acute (table 1) and in chronic colitis ($p<0.001$), whereas IL-10 secretion significantly increased in acute colitis. Conclusion: Treatment with a less hypercalcaemic analogue of Vit.D3 demonstrates significant immunsuppressive and immunregulatory properties in experimental colitis, which warrants further experimental and clinical exploration of this substance in inflammatory bowel disease..

CONCEPT CODE:
General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Biochemistry studies - Vitamins 10063
Biochemistry studies - Proteins, peptides and amino acids 10064
Digestive system - Physiology and biochemistry 14004
Digestive system - Pathology 14006
Endocrine - General 17002

INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Digestive System (Ingestion and Assimilation)

INDEX TERMS: Parts, Structures, & Systems of Organisms
mesenteric lymph node cell

INDEX TERMS: Diseases
acute DSS-induced colitis: digestive system disease

INDEX TERMS: Diseases
acute colitis: digestive system disease
Colitis (MeSH)

INDEX TERMS: Diseases
chronic DSS-induced colitis: digestive system disease

INDEX TERMS: Diseases
chronic colitis: digestive system disease
Colitis (MeSH)

INDEX TERMS: Diseases
colonic inflammation: digestive system disease

INDEX TERMS: Diseases
experimental colitis: digestive system disease
Colitis (MeSH)

INDEX TERMS: Diseases
inflammatory bowel disease: digestive system disease
Inflammatory Bowel Diseases (MeSH)

INDEX TERMS: Chemicals & Biochemicals
CD3; IFN-gamma [interferon-gamma]: secretion; IL-10 [interleukin-10]: secretion; IL-6 [interleukin-6]: secretion; T-bet: expression; T-bet mRNA [T-bet messenger RNA]: expression; ZK191784; vitamin D3

ORGANISM: Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
Balb/c mouse (common)
Taxa Notes

Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates

REGISTRY NUMBER: **198760-31-5** (ZK191784)
67-97-0 (vitamin D3)

L40 ANSWER 13 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:33730 BIOSIS Full-text
DOCUMENT NUMBER: PREV200400031855
TITLE: VITAMIN D RECEPTOR IS INVOLVED IN BUTYRATE-INDUCED TRANSFORMING GROWTH FACTOR beta-1 SIGNALING IN CACO-2 CELLS.
AUTHOR(S): Gaschott, Tanja [Reprint Author]; Schroeder, Oliver [Reprint Author]; Steinhilber, Dieter [Reprint Author]; Stein, Juergen [Reprint Author]
CORPORATE SOURCE: Frankfurt/Main, Germany
SOURCE: Digestive Disease Week Abstracts and Itinerary Planner, (2003) Vol. 2003, pp. Abstract No. M947. e-file.
Meeting Info.: Digestive Disease 2003. FL, Orlando, USA. May 17-22, 2003. American Association for the Study of Liver Diseases; American Gastroenterological Association; American Society for Gastrointestinal Endoscopy; Society for Surgery of the Alimentary Tract.
DOCUMENT TYPE: Conference; (Meeting)
Conference; (Meeting Poster)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 7 Jan 2004
Last Updated on STN: 7 Jan 2004

ABSTRACT:Background: Butyrate, as well as its prodrug tributyrin (TB), have important physiological effects on proliferation and differentiation in a variety of malignant cells. The antineoplastic effect of butyrate in colon cancer cells may be at least partly due to its synergistic action with 1,25-dihydroxyvitamin D3 (1,25-(OH)2D3). The transforming growth factor-beta (TGF-beta) superfamily is also involved in a broad array of cellular processes, including proliferation, differentiation and apoptosis. The aim of this study was to elucidate the role of the vitamin D receptor (VDR) in butyrate-induced TGF-beta1 signaling in Caco-2 cells. Materials and Methods: Cell differentiation was evaluated by analysing the activity of alkaline phosphatase (AP). VDR-mRNA was quantified by PCR, VDR-protein by Western blot analysis. For TGF-beta1 immunoassay, conditioned media were analysed for total amount of TGF-beta1. Results: TB significantly increased VDR-mRNA level (261% vs. control). Butyrate (2 mM) increased VDR protein content in the nucleus 1.2- and 4-fold, butyrate (3 mM) 2.2- and 6.9-fold after 24- and 48-h incubation, respectively. Both TB (1 mM) and 1,25-(OH)2D3 (1 muM) stimulated differentiation of Caco-2 cells 6.5- ($p<0.001$) and 2-fold after 7 days of incubation, whereas combinations of TB with 1,25-(OH)2D3 or TGF-beta1 further increased AP activity (14- or 9.5-fold increase vs. control, respectively; $p<0.001$). However, treatment of Caco-2 cells with butyrate and TGF-beta1 antibody (30 mug/ml) or the VDR antagonist ZK 191732 (10 muM) significantly decreased enzyme activity ($p<0.05$, and n.s. vs. control, respectively). TB increased the amount of total TGF-beta1 2-fold after 24 and 48h of incubation, whereas its combination with 1,25-(OH)2D3 resulted in a synergistic amplification (4- and 5-fold increase, $p<0.01$ vs. control). In the presence of ZK 191732, butyrate-induced TGF-beta1 expression was completely abolished (n.s. vs. control). Conclusions: Sensitization of Caco-2 cells to the growth regulatory effects of TGF-beta1 induced by butyrate is mediated, at least in part, by upregulation of VDR.

CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520

Biochemistry studies - Vitamins 10063
Biochemistry studies - Proteins, peptides and amino acids 10064
Biochemistry studies - Lipids 10066
Biochemistry studies - Sterols and steroids 10067
Enzymes - General and comparative studies: coenzymes 10802
Digestive system - Physiology and biochemistry 14004

INDEX TERMS: Major Concepts
Digestive System (Ingestion and Assimilation); Enzymology (Biochemistry and Molecular Biophysics)

INDEX TERMS: Chemicals & Biochemicals
ZK 191732: enzyme inhibitor-drug; alkaline phosphatase [EC 3.1.3.1]; butyrate; transforming growth factor beta-1: expression; vitamin D; vitamin D receptor mRNA; vitamin d receptor: regulation

INDEX TERMS: Miscellaneous Descriptors
cell differentiation

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
CaCo-2 cell line (cell line)
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

REGISTRY NUMBER: **198760-02-0** (ZK 191732)
9001-78-9 (alkaline phosphatase)
9001-78-9 (EC 3.1.3.1)
461-55-2 (butyrate)
1406-16-2 (vitamin D)

L40 ANSWER 14 OF 15 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 2002:530363 BIOSIS Full-text
DOCUMENT NUMBER: PREV200200530363
TITLE: Butyrate-induced cell cycle arrest and differentiation of Caco-2 cells are mediated by vitamin D receptor.
AUTHOR(S): Gaschott, Tanja [Reprint author]; Breitkreutz, Raoul [Reprint author]; Werz, Oliver [Reprint author]; Steinhilber, Dieter [Reprint author]; Stein, Juergen [Reprint author]
CORPORATE SOURCE: Frankfurt/Main, Germany
SOURCE: Gastroenterology, (April, 2002) Vol. 122, No. 4 Suppl. 1, pp. A-372. print.
Meeting Info.: Digestive Disease Week and the 103rd Annual Meeting of the American Gastroenterological Association. San Francisco, CA, USA. May 19-22, 2002.
CODEN: GASTAB. ISSN: 0016-5085.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Oct 2002
Last Updated on STN: 16 Oct 2002
CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Cytology - Human 02508
Genetics - General 03502
Genetics - Human 03508

Biochemistry studies - Proteins, peptides and amino acids 10064
Biochemistry studies - Lipids 10066
Enzymes - General and comparative studies: coenzymes 10802
Digestive system - Physiology and biochemistry 14004

INDEX TERMS: Major Concepts
Digestive System (Ingestion and Assimilation); Molecular Genetics (Biochemistry and Molecular Biophysics)

INDEX TERMS: Parts, Structures, & Systems of Organisms
nucleus

INDEX TERMS: Chemicals & Biochemicals
1,25-dihydroxyvitamin D-3; ZK 191732: vitamin D receptor antagonist; alkaline phosphatase; butyrate; p21-Waf1/Cip1: expression; vitamin D receptor [VDR]: regulation; vitamin D receptor mRNA [VDR mRNA, vitamin D receptor messenger RNA]

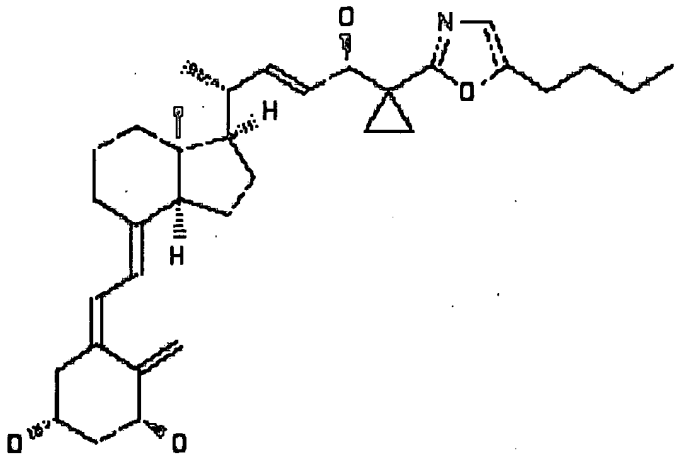
INDEX TERMS: Miscellaneous Descriptors
cell cycle regulation; Meeting Abstract

ORGANISM: Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
Caco-2 cell line: differentiation, human colon adenocarcinoma cells
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

REGISTRY NUMBER: 32222-06-3Q (1,25-dihydroxyvitamin D-3)
32511-63-0Q (1,25-dihydroxyvitamin D-3)
198760-02-0 (ZK 191732)
9001-78-9 (alkaline phosphatase)
461-55-2 (butyrate)

L40 ANSWER 15 OF 15 PROUSDDR COPYRIGHT 2006 PROUS SCIENCE on STN
ACCESSION NUMBER: 2003:3362 PROUSDDR Full-text
DOCUMENT NUMBER: 333439
CHEMICAL NAME: (1S,3R,5Z,7E,22E,24R)-24-(1-(5-Butyloxazol-2-yl)cyclopropyl)-9,10-secochola-5,7,10,22-tetraene-1,3,24-triol
DRUG NAME: ZK-191784
CAS REGISTRY NUMBER: **198760-74-6**
MOLECULAR FORMULA: C34 H49 N O4
HIGHEST DEV. PHASE: PRECLINICAL
ORIGINATOR: Schering AG
CLASSIFICATION CODE: Antipsoriatics; Immunosuppressants
ACTION MECHANISM: Vitamin D Analogs
OTHER SOURCE: SYNTHLINE 2004000046
ENTRY DATE: Entered STN: 9 May 2004
Last Updated on STN: 3 Jul 2006

STRUCTURE:



PROUS REFERENCES:
RefID: 715939 (Text Available)
Drug Data Report, Vol. 25, No. 3, pp 269, 2003

REFERENCE TEXT: RefID: 715939
ACTION - Vitamin D analogue that binds with slightly lower affinity to vitamin D receptors compared with calcitriol and concentration-dependently inhibits lymphocyte proliferation (IC50 = 42 nM) and lipopolysaccharide (LPS)-induced TNF-alpha and IL-12 production in monocytes with lower potency than the parent compound. It antagonized calcitriol-induced differentiation of promyelocytic leukemia HL-60 cells without exhibiting intrinsic agonist activity. In vivo, it exhibited potent immunosuppressive activity in a murine model of contact hypersensitivity at doses of 10, 60 and 300 mcg/kg s.c. Potentially useful for the treatment of T-cell-mediated immune disorders such as psoriasis, rheumatoid arthritis, inflammatory bowel disease and transplant rejection.

PATENT REFERENCES:

TITLE: New vitamin D derivatives with carbo- or heterocyclic substituents at C-25, a process for their production, intermediate products and their use for producing medicaments

INVENTOR(S): Neef, G.; Fahnrich, M.; Kirsch, G.; Thieroff-Ekerdt, R.; Schwarz, K.; Steinmeyer, A.; Wiesinger, H.; Haberey, M.

PATENT ASSIGNEE(S): Schering AG

PATENT INFORMATION: EP 900198 19990310
JP 2000510826 20000822
US 2002049344 20020425
US 2005080058 20050414
US 6600058 20030729
US 6613920 20030902
US 6642218 20031104
WO 9741096 19971106

PRIORITY INFORMATION: DE 1996-19036 19960430

REFERENCES:

(1) RefID: 710376, Periodic Publication
"A novel immunosuppressive 1alpha,25-dihydroxyvitamin D3 analog with reduced hypercalcemic activity"
Zugel, U.; Steinmeyer, A.; Giesen, C.; Asadullah, K., J Invest Dermatol, Vol. 119, No. 6, pp 1434, 2002

(2) RefID: 727526, Congress Literature
"A novel dissociated 1alpha,25-dihydroxyvitamin D3 analog with immunosuppressive activity in T cell-mediated skin inflammation"
Zugel, U.A.; et al., Annu Meet Soc Invest Dermatol (64th Edition), April 30 2003-May 4 2003, Miami Beach, (Abst 0851)

(3) RefID: 904738, Congress Literature
"Vitamin D receptor antagonist ZK-191784 reverses inhibition of ApoAI gene expression by 1alpha,25-dihydroxycholecalciferol"
Wehmeier, K.R.; et al., Annu Meet Endocr Soc (87th Edition), June 4 2005-June 7 2005, San Diego, (Abst P1-231)

(4) RefID: 940960, Congress Literature
"Novel vitamin D analogue ZK191784 prevents compensatory Ca2+ hyperabsorption in hypercalciuric TRPV5 knockout mice"
Nijenhuis, T.; et al., Annu Meet Am Soc Nephrol (ASN) (38th Edition), Nov 8 2005-Nov 13 2005, Philadelphia, (Abst SA-PO905)

(5) RefID: 999849, Periodic Publication
"Vitamin D receptor antagonist ZK 191784 augments ApoA1 gene expression"
Wehmeier, K.R.; Haas, M.J.; Beers, A.E.; Mooradian, A.D., J Bone Miner Res, Vol. 20, No. Suppl. 1, (Abst SA517), 2005

(6) RefID: 989401, Periodic Publication
"Tissue-specific partial vitamin D agonism/antagonism in calcium and bone homeostasis by the novel vitamin D analog ZK191784"
Van der Eerden, B.C.; Nijenhuis, T.; Hoenderop, J.G.J.; Pols, H.A.P.; Weinans, H.; Bindels, R.J.M.; Van Leeuwen, J.P.T.M., Calcif Tissue Int, Vol. 78, No. Suppl. 1, (Abst P235), 2006

START LOCAL KERMIT RECEIVE PROCESS

BINARY DATA HAS BEEN DOWNLOADED TO MULTIPLE FILES 'IMAGEnnn.TIF'

STRUCTURES FOR HITS FROM BIOSIS
=>
=> => fil reg
FILE 'REGISTRY' ENTERED AT 17:20:47 ON 28 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 27 DEC 2006 HIGHEST RN 916420-05-8
DICTIONARY FILE UPDATES: 27 DEC 2006 HIGHEST RN 916420-05-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

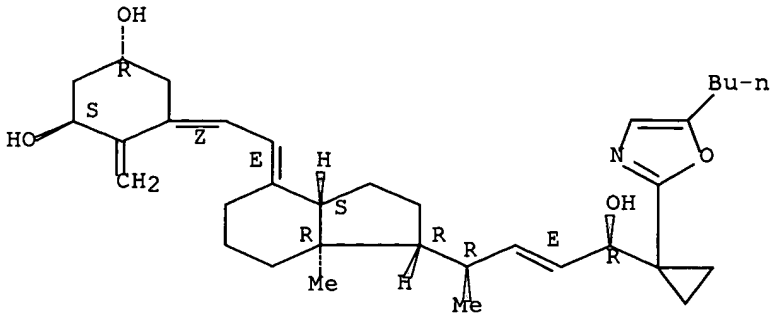
=> s 198760-02-0 or 198760-31-5

1 198760-02-0
(198760-02-0/RN)
1 198760-31-5
(198760-31-5/RN)
L41 2 198760-02-0 OR 198760-31-5

=> d ide 1-2; fil hom

L41 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN **198760-31-5** REGISTRY
ED Entered STN: 18 Dec 1997
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(5-butyl-2-oxazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN ZK 191784
FS STEREOSEARCH
MF C34 H49 N O4
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.
Double bond geometry as shown.

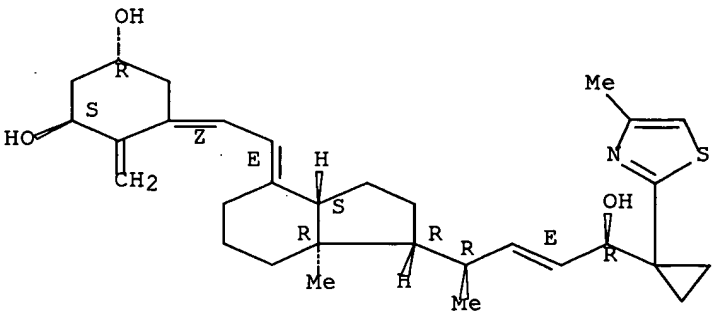


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L41 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN **198760-02-0** REGISTRY
ED Entered STN: 18 Dec 1997
CN 9,10-Secochola-5,7,10(19),22-tetraene-1,3,24-triol, 24-[1-(4-methyl-2-thiazolyl)cyclopropyl]-, (1α,3β,5Z,7E,22E,24R)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN ZK 191732
FS STEREOSEARCH
MF C31 H43 N O3 S
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.
Double bond geometry as shown.



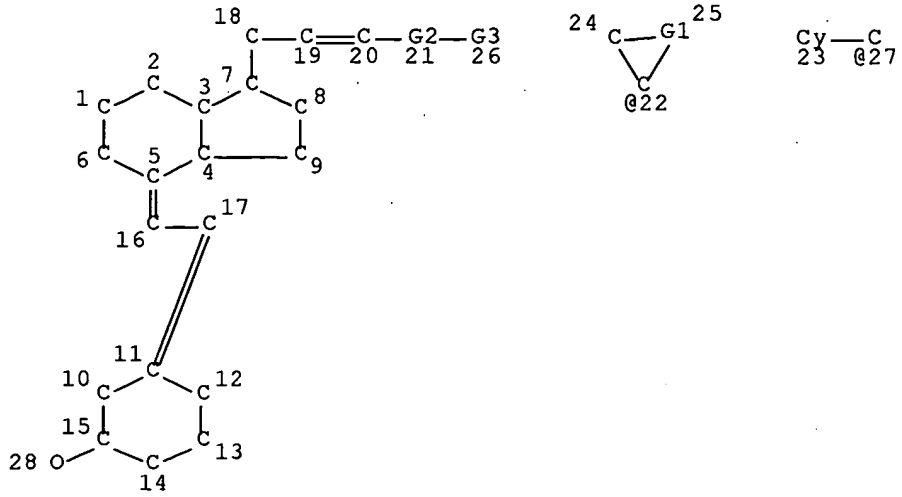
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

FILE 'HOME' ENTERED AT 17:20:57 ON 28 DEC 2006

SEARCH HISTORY

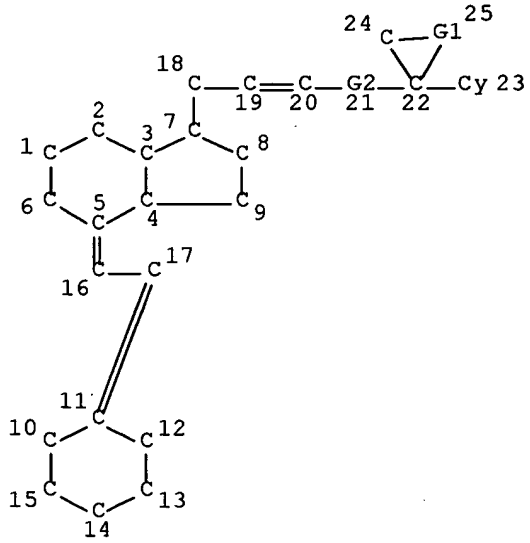
=> d stat que l34; d his nofile
L28 STR



REP G1=(1-5) C
REP G2=(1-10) C
VAR G3=22/27
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 23
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE
L30 941 SEA FILE=REGISTRY SSS FUL L28
L32 STR



```
REP G1=(1-5) C
REP G2=(1-10) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS  AT  23
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  25

STEREO ATTRIBUTES: NONE
L34          370 SEA FILE=REGISTRY SUB=L30 SSS FUL L32

100.0% PROCESSED      941 ITERATIONS                          370 ANSWERS
SEARCH TIME: 00.00.01



     (FILE 'HOME' ENTERED AT 17:00:33 ON 28 DEC 2006)

     FILE 'CAPLUS' ENTERED AT 17:00:58 ON 28 DEC 2006
                E US2003-658326/APPS
L1             1 SEA ABB=ON  US2003-658326/AP
                D SCAN
                SEL RN

     FILE 'REGISTRY' ENTERED AT 17:01:28 ON 28 DEC 2006
L2           436 SEA ABB=ON  (1007-71-2/BI OR 104-09-6/BI OR 104-87-0/BI OR
                105652-63-9/BI OR 108661-54-7/BI OR 112828-13-4/BI OR 112924-91
                -1/BI OR 115648-67-4/BI OR 1200-14-2/BI OR 122-03-2/BI OR
                124-68-5/BI OR 134404-41-4/BI OR 134523-96-9/BI OR 156965-12-7/
                BI OR 156965-17-2/BI OR 163208-19-3/BI OR 16369-14-5/BI OR
                1901-26-4/BI OR 19356-17-3/BI OR 198758-82-6/BI OR 198758-83-7/
                BI OR 198758-84-8/BI OR 198758-85-9/BI OR 198758-86-0/BI OR
                198758-87-1/BI OR 198758-88-2/BI OR 198758-90-6/BI OR 198758-92
                -8/BI OR 198758-94-0/BI OR 198758-96-2/BI OR 198758-97-3/BI OR
                198758-98-4/BI OR 198758-99-5/BI OR 198759-00-1/BI OR 198759-01
                -2/BI OR 198759-02-3/BI OR 198759-04-5/BI OR 198759-06-7/BI OR
                198759-07-8/BI OR 198759-08-9/BI OR 198759-09-0/BI OR 198759-10
                -3/BI OR 198759-11-4/BI OR 198759-12-5/BI OR 198759-13-6/BI OR
                198759-14-7/BI OR 198759-15-8/BI OR 198759-16-9/BI OR 198759-17
                -0/BI OR 198759-18-1/BI OR 198759-19-2/BI OR 198759-20-5/BI OR
                198759-21-6/BI OR 198759-22-7/BI OR 198759-23-8/BI OR 198759-24
                -9/BI OR 198759-25-0/BI OR 198759-26-1/BI OR 198759-27-2/BI OR
                198759-28-3/BI OR 198759-29-4/BI OR 198759-30-7/BI OR 198759-31
                -8/BI OR 198759-32-9/BI OR 198759-33-0/BI OR 198759-34-1/BI OR
                198759-35-2/BI OR 198759-36-3/BI OR 198759-37-4/BI OR 198759-38
                -5/BI OR 198759-39-6/BI OR 198759-40-9/BI OR 198759-41-0/BI OR
                198759-42-1/BI OR 198759-43-2/BI OR 198759-44-3/BI OR 198759-45
                -4/BI OR 198759-46-5/BI OR 198759-47-6/BI OR 198759-48-7/BI OR
                198759-49-8/BI OR 198759-50-1/BI OR 198759-51-2/BI OR 198759-52
                -3/BI OR 198759-53-4/BI OR 198759-54-5/BI OR 198759-55-6/BI OR
                198759-56-7/BI OR 198759-57-8/BI OR 198759-58-9/BI OR 198759-59
                -0/BI OR 198759-60-3/BI OR 198759-61-4/BI OR 198759-62-5/BI OR
                198759-64-7/BI OR 198759-65-8/BI OR 198759-67-0/BI OR 198759-68
```

```
                -1/BI OR 198759-69-2/BI OR 198759-70-5/BI OR 198759-71-6/BI OR
                198759-72-7/BI OR 198759-73-8/BI OR 198759-74-9/BI OR 198759-75
                -0/BI OR 198759-76-1/BI OR 198759-77-2/BI OR 198759-78-3/BI OR
                198759-79-4/BI OR 198759-80-7/BI OR 198759-81-8/BI OR 198759-82
                -9/BI OR 198759-83-0/BI OR 198759-84

     FILE 'CAPLUS' ENTERED AT 17:05:17 ON 28 DEC 2006
L3             7 SEA ABB=ON  FAHNRICH M?/AU
L4            60 SEA ABB=ON  STEINMEYER A?/AU
L5           382 SEA ABB=ON  KIRSCH G?/AU
L6           187 SEA ABB=ON  NEEF G?/AU
L7          1038 SEA ABB=ON  SCHWARZ K?/AU
L8            60 SEA ABB=ON  THIEROFF EKERDT R?/AU OR THIEROFF R?/AU OR EKERDT
                  R?/AU
L9           119 SEA ABB=ON  WIESINGER H?/AU
L10           58 SEA ABB=ON  HABEREY M?/AU
L11            6 SEA ABB=ON  L3 AND (L4 OR L5 OR L6 OR L7 OR L8 OR L9 OR L10)
                  D SCAN TI L1
L12        20749 SEA ABB=ON  VITAMIN D/OBI
L13           53 SEA ABB=ON  (L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9 OR L10)
                  AND L12
L14         6194 SEA ABB=ON  (C25 OR C 25)/BI
L15            3 SEA ABB=ON  L13 AND L14

     FILE 'CAPLUS' ENTERED AT 17:08:25 ON 28 DEC 2006
                  D QUE L1'
                  D QUE L11
                  D QUE L15
L16            8 SEA ABB=ON  (L1 OR L11 OR L15)
                  D IBIB ED ABS 1-8

     FILE 'REGISTRY' ENTERED AT 17:08:46 ON 28 DEC 2006
L17               STR
L18               STR L17
L19            8 SEA SSS SAM L18

     FILE 'CAPLUS' ENTERED AT 17:12:29 ON 28 DEC 2006
L20            1 SEA ABB=ON  L19

     FILE 'REGISTRY' ENTERED AT 17:12:33 ON 28 DEC 2006

     FILE 'CAPLUS' ENTERED AT 17:12:45 ON 28 DEC 2006
L21            1 SEA ABB=ON  L20 AND L16

     FILE 'REGISTRY' ENTERED AT 17:12:47 ON 28 DEC 2006
L22               STR L18
L23           50 SEA SSS SAM L22

     FILE 'CAPLUS' ENTERED AT 17:13:26 ON 28 DEC 2006
L24           24 SEA ABB=ON  L23

     FILE 'REGISTRY' ENTERED AT 17:14:22 ON 28 DEC 2006
L25               STR L18
L26           34 SEA SSS SAM L25

     FILE 'CAPLUS' ENTERED AT 17:15:55 ON 28 DEC 2006
L27           29 SEA ABB=ON  L26

     FILE 'REGISTRY' ENTERED AT 17:16:25 ON 28 DEC 2006
L28               STR L25
```

```
L29          34 SEA SSS SAM L28
L30         941 SEA SSS FUL L28
                SAVE TEMP L30 QAZ326FULL/A

     FILE 'CAPLUS' ENTERED AT 17:17:04 ON 28 DEC 2006
L31         547 SEA ABB=ON  L30

     FILE 'REGISTRY' ENTERED AT 17:17:07 ON 28 DEC 2006
                D QUE L18
L32             STR L18
L33           8 SEA SUB=L30 SSS SAM L32
L34         370 SEA SUB=L30 SSS FUL L32
                SAVE TEMP L34 QAZ326SUB1/A

     FILE 'CAPLUS' ENTERED AT 17:17:55 ON 28 DEC 2006
L35           8 SEA ABB=ON  L34

     FILE 'REGISTRY' ENTERED AT 17:18:07 ON 28 DEC 2006
L36             ANALYZE L34 1- LC :       9 TERMS
                D

     FILE 'REGISTRY' ENTERED AT 17:18:44 ON 28 DEC 2006
                D STAT QUE L34

     FILE 'CAPLUS' ENTERED AT 17:18:44 ON 28 DEC 2006
L37           8 SEA ABB=ON  L34
L38           6 SEA ABB=ON  L37 NOT L16

     FILE 'BIOSIS, PROUSDDR' ENTERED AT 17:19:10 ON 28 DEC 2006
L39          11 SEA ABB=ON  L34

     FILE 'CAPLUS, BIOSIS, PROUSDDR' ENTERED AT 17:19:17 ON 28 DEC 2006
L40          15 DUP REM L38 L39 (2 DUPLICATES REMOVED)
                     ANSWERS '1-6' FROM FILE CAPLUS
                     ANSWERS '7-14' FROM FILE BIOSIS
                     ANSWER '15' FROM FILE PROUSDDR
                D IBIB ED ABS HITSTR 1-6
                D IALL 7-15

     FILE 'STNGUIDE' ENTERED AT 17:19:56 ON 28 DEC 2006

     FILE 'REGISTRY' ENTERED AT 17:20:47 ON 28 DEC 2006
L41           2 SEA ABB=ON  198760-02-0 OR   198760-31-5
                D IDE 1-2

     FILE 'HOME' ENTERED AT 17:20:57 ON 28 DEC 2006
                D STAT QUE L34

=>
```